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     (FILE 'HCAPLUS' ENTERED AT 14:08:07 ON 24 FEB 2003)
                DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 14:08:24 ON 24 FEB 2003
                ACT RUSSEL/A
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L2            65 SEA FILE=REGISTRY SSS FUL L1
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L3            32 S L2
L4        201243 S ANTIBIOT? OR ANTIMICRO? OR ANTIBACTER? OR BACTERICID? OR BACT
L5          2163 S LASPARTOMYCIN OR ASPARTOCIN OR LIPOPEPTIDE? OR A 21978C OR CY
L6             8 S L3 AND (L4 OR L5)
L7            24 S L3 NOT L6
L8            13 S L3 AND 63/SX,SC
L9            18 S L8 OR L6
L10           14 S L3 NOT L9

     FILE 'REGISTRY' ENTERED AT 14:11:46 ON 24 FEB 2003

     FILE 'HCAPLUS' ENTERED AT 14:11:51 ON 24 FEB 2003

     FILE 'HCAOLD' ENTERED AT 14:13:12 ON 24 FEB 2003
L11            1 S L2

     FILE 'HCAOLD' ENTERED AT 14:13:27 ON 24 FEB 2003
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FILE 'REGISTRY' ENTERED AT 14:11:46 ON 24 FEB 2003
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   23 FEB 2003  HIGHEST RN 494189-41-2
DICTIONARY FILE UPDATES:  23 FEB 2003  HIGHEST RN 494189-41-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L1                STR

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Ak   SO2   NH  C   C   N
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NODE ATTRIBUTES:
CONNECT IS E1  RC AT   1
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M6-X20 C  AT   1

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
L2            65 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED  58143 ITERATIONS                          65 ANSWERS
SEARCH TIME: 00.00.02


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FILE COVERS 1907 - 24 Feb 2003 VOL 138 ISS 9
FILE LAST UPDATED: 23 Feb 2003 (20030223/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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FILE 'HCAPLUS' ENTERED AT 14:08:28 ON 24 FEB 2003
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L5 2163 S LASPARTOMYCIN OR ASPARTOCIN OR LIPOPEPTIDE? OR A 21978C OR CY
L6 8 S L3 AND (L4 OR L5)
L7 24 S L3 NOT L6
L8 13 S L3 AND 63/SX,SC
L9 18 S L8 OR L6
L10 14 S L3 NOT L9

FILE 'REGISTRY' ENTERED AT 14:11:46 ON 24 FEB 2003

FILE 'HCAPLUS' ENTERED AT 14:11:51 ON 24 FEB 2003

=> d que nos 19
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L3 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L2
L4 201243 SEA FILE=HCAPLUS ABB=ON PLU=ON ANTIBIOT?/OBI OR ANTIMICRO?/OB
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L5 2163 SEA FILE=HCAPLUS ABB=ON PLU=ON LASPARTOMYCIN/OBI OR ASPARTOCI
N/OBI OR LIPOPEPTIDE?/OBI OR A 21978C/OBI OR CYCLOPEPTID?/OBI
L6 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L3 AND (L4 OR L5)
L8 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L3 AND 63/SX,SC
L9 18 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR L6

=> d 19 .ca hitstr 1-18;d .ca 110 1-14

L9 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:521684 HCAPLUS
DOCUMENT NUMBER: 137:88483
TITLE: Hydrophobic polyamine analogs and methods for their use
INVENTOR(S): Burns, Mark Robert; Graminski, Gerard F.; Banduir,

Nand
PATENT ASSIGNEE(S): Oridigm Corporation, USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053519	A2	20020711	WO 2002-US347	20020108

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-260415P P 20010108
OTHER SOURCE(S): MARPAT 137:88483

AB The invention provides polyamine analogs and derivs. contg. a hydrophobic region and a polyamine region, as well as methods and compns. for their use. The compds. of the invention can be used e.g. to treat cancer osteoporosis, asthma, etc.

IC ICM C07C
CC 1-12 (Pharmacology)
Section cross-reference(s): **63**

IT Alzheimer's disease
Anti-Alzheimer's agents
Anti-inflammatory agents
Antiarthritics
Antiasthmatics
Antibacterial agents
Anticonvulsants
Antidiabetic agents
Antiglaucoma agents
Antihypertensives
Antitumor agents
Antiulcer agents
Antiviral agents
Anxiety
Anxiolytics
Asthma
Autoimmune disease
Cardiovascular agents
Cosmetics
Drug delivery systems
Drug dependence
Drug interactions
Epilepsy
Fungicides
Glaucoma (disease)
Human
Hyperparathyroidism

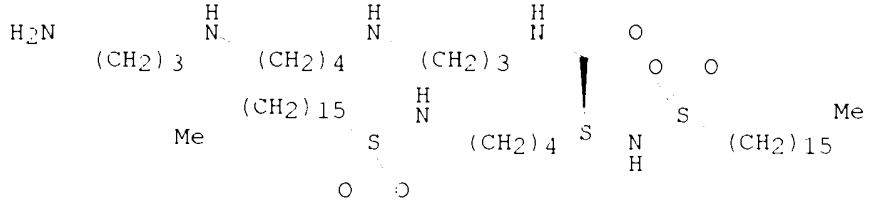
Hypertension
Inflammation
Neoplasm
Nervous system agents
Osteoporosis
Parasiticides
Psoriasis
Rheumatoid arthritis
Structure-activity relationship
Transplant and Transplantation
(hydrophobic polyamine analogs and use)

IT 56-84-8D, L-Aspartic acid, derivs. 56-86-0D, L-Glutamic acid, derivs. 56-87-1D, L-Lysine, derivs. 70-26-8D, Ornithine, derivs. 71-44-3D, Spermine, derivs. 110-60-1D, Putrescine, derivs. 124-20-9D, Spermidine, derivs. 305-62-4D, 2,4-Diaminobutyric acid, derivs. 70052-12-9, .alpha.-Difluoromethylornithine 134951-06-7 330162-58-8
330162-75-9 330162-76-0 330163-03-6 441022-65-7 441022-68-0
441022-71-5 441022-72-6 441022-73-7 441022-74-8 441022-75-9
441022-76-0 441022-78-2 441022-80-6 441022-81-7 441022-82-8
441022-83-9 441022-84-0 441022-85-1 441022-86-2 441022-87-3
441022-88-4 441022-89-5 441022-90-8 441022-91-9 441022-92-0
441022-93-1 441022-94-2 441022-95-3 441022-96-4 441022-98-6
441023-00-3 441023-02-5 441023-04-7 441023-06-9 441023-08-1
441023-10-5 **441023-12-7** 441023-13-8 441023-15-0
441023-17-2 441023-19-4 441023-21-8 441023-22-9 441023-23-0
441023-24-1 441023-25-2 441023-26-3 441023-27-4 441023-28-5
441023-59-2 441023-60-5 441023-61-6 441023-62-7 441023-63-8
441023-64-9 441023-65-0 441023-66-1 441023-67-2 441023-68-3
441023-69-4 441023-70-7 441023-71-8 441023-72-9 441023-73-0
441023-74-1 441023-75-2 441023-76-3 441023-77-4 441023-78-5
441023-79-6 441764-81-4 441764-82-5 441764-83-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrophobic polyamine analogs and use)

IT **441023-12-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrophobic polyamine analogs and use)

RN 441023-12-7 HCAPLUS
CN Hexanamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-2,6-bis[(hexadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:221203 HCAPLUS
DOCUMENT NUMBER: 136:247893
TITLE: Preparation of **antimicrobial**

	laspartomycin derivatives
INVENTOR(S):	Borders, Donald B.; Curran, William V.; Fantini, Amedeo A.; Francis, Noreen D.; Jarolmen, Howard; Reese, Richard A.
PATENT ASSIGNEE(S):	USA
SOURCE:	U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 760,328. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002035063	A1	20020321	US 2001-904352	20010713
US 6511962	B1	20030128	US 2001-760328	20010112

PRIORITY APPLN. INFO.:	US 2000-219059P	P	20000717
	US 2000-220950P	P	20000726
	US 2001-760328	A2	20010112

OTHER SOURCE(S): MARPAT 136:247893

AB The invention provides methods for prepg. laspartomycin core peptides and for treating and/or preventing microbial infections in a subject. Thus, Me(CH2)13CO-L-Phe-L-Asp-R (R is the core cyclic peptide of laspartomycin) was prepd. and showed MIC = 16 .mu.g/mL using Staphylococcus aureus strain Smith as the assay organism.

IC ICM A61K038-12
ICS C12P021-02

NCL 514009000

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 9

ST **antibiotic laspartomycin** deriv

IT Streptomyces viridochromogenes
(komabensis; prepn. of **antimicrobial laspartomycin** derivs.)

IT Actinoplanes utahensis
Antibiotics
Fermentation
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 62168-75-6P, Deacylase
RL: BPN (Biosynthetic preparation); CAT (Catalyst use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-28-9P
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392699-69-3P
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-33-6P
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-55-2P 392656-56-3P 392656-59-6P 392656-63-2P 392656-64-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-53-0P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-35-8P 392656-37-0P 392656-39-2P 392656-40-5P 392656-46-1P
392656-51-8P 392656-54-1P 392656-58-5P 392656-60-9P 392711-94-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-43-8P 392656-45-0P **392656-49-4P** 392656-52-9P
392656-57-4P 392711-93-2P
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-34-7P **392656-36-9P** 392656-38-1P 392656-41-6P
392656-42-7P 392656-44-9P **392656-50-7P**
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-48-3 392656-61-0 392656-62-1 392656-65-4 392656-66-5
392711-92-1 392712-22-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 219901-76-5 392335-64-7 392335-65-8 392335-66-9 392335-67-0
392335-68-1
RL: PRP (Properties)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392335-49-8P, Pentadecanoyl-L-aspartic acid 4-O-benzyl ester
392335-50-1P 392656-30-3P
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-31-4P 392656-32-5P
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antimicrobial laspartomycin** derivs.)

IT 1002-84-2, Pentadecanoic acid 1943-84-6, Hexadecylisocyanate
2177-63-1, L-Aspartic acid 4-O-benzyl ester 2312-15-4, p-Dodecyloxybenzoic acid 2592-95-2, 1-Hydroxybenzotriazole 3224-48-4, Tetradecylisothiocyanate 4202-38-4 5519-23-3, p-Decyloxybenzoic acid 24460-74-0, Dodecyl chloroformate 58725-40-9, p-Dodecanamidobenzoic acid 131803-81-1, Decanesulfonyl-L-phenylalanine 219901-81-2, N-Pentadecanoyl-L-phenylalanine 391865-52-4 392335-51-2 392335-53-4 392335-55-6 392335-56-7 392335-57-8, N-Pentadecanoyl-D-phenylalanine 392335-58-9 392335-59-0 392335-60-3 392335-61-4 392335-62-5 392335-63-6
RL: RCT (Reactant); RACT (Reactant or reagent)

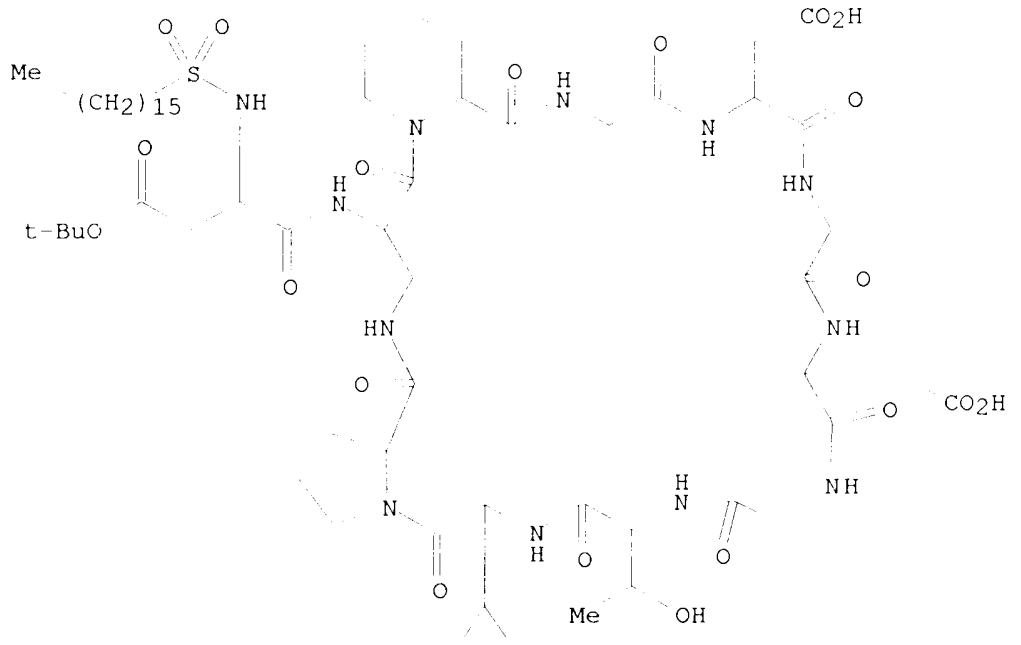
(prepn. of **antimicrobial laspartomycin** derivs.)

IT **392656-49-4P**
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **antimicrobial laspartomycin** derivs.)

RN 392656-49-4 HCAPLUS

CN Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, 1-(1,1-dimethylethyl) ester, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

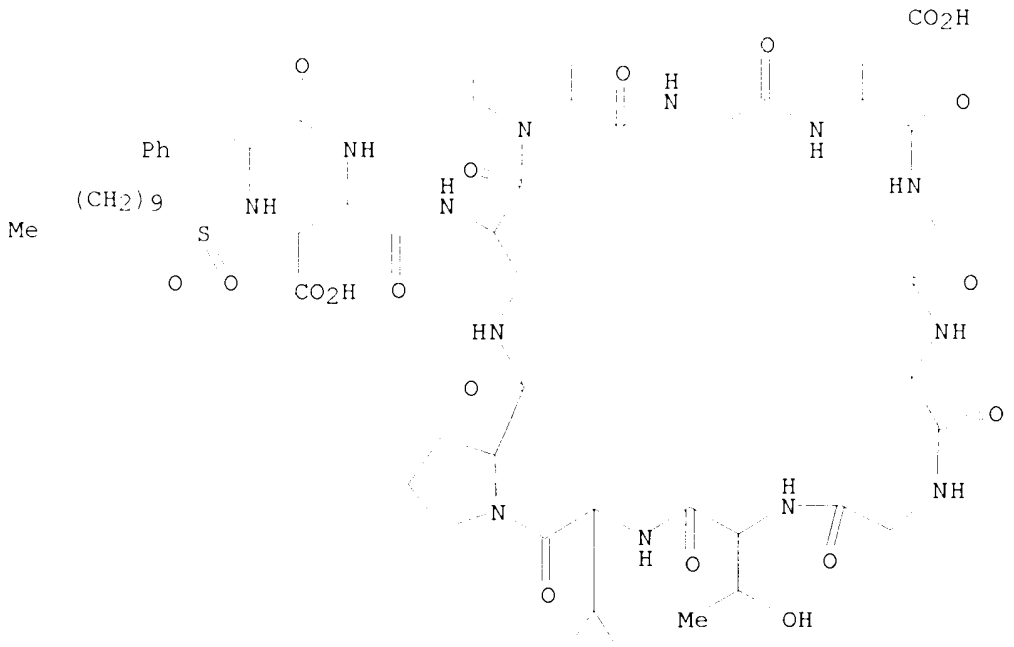
Et Me

IT **392656-36-9P 392656-50-7P**
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antimicrobial laspartomycin** derivs.)

RN 392656-36-9 HCAPLUS

CN Proline, N-(decylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

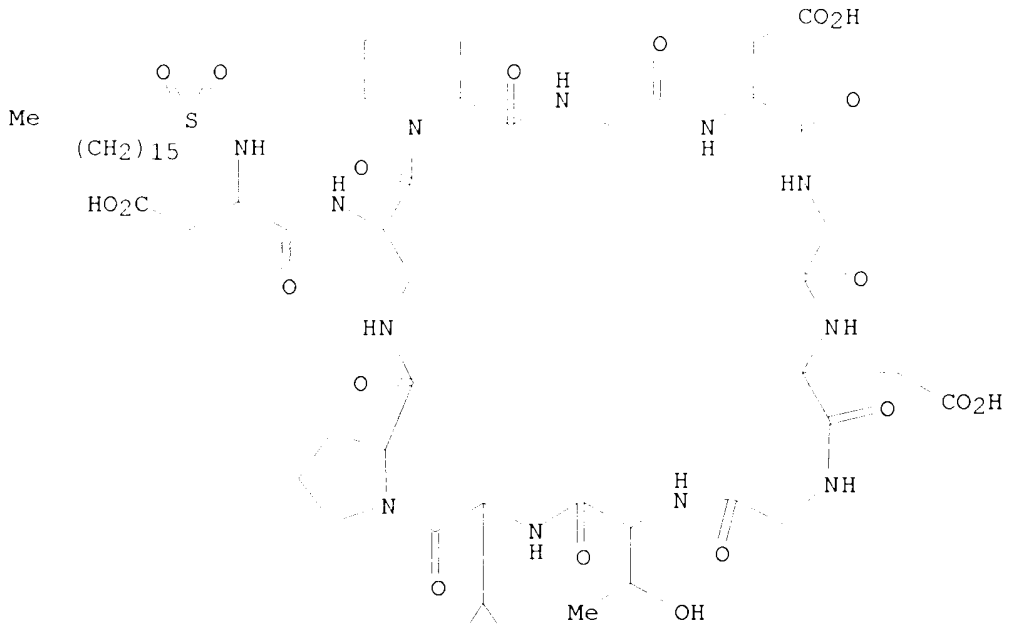
PAGE 2-A

Et Me

RN 392656-50-7 HCAPLUS

CN Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



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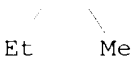


L9 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:172480 HCAPLUS
DOCUMENT NUMBER: 136:229329
TITLE: **Antimicrobial** sulfonamide derivatives of **lipopeptide antibiotics**
INVENTOR(S): Curran, William V.; Leese, Richard A.; Jarolmen, Howard; Borders, Donald B.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U. S. Ser. No. 760,328.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002028771	A1	20020307	US 2001-904756	20010713
US 6511962	B1	20030128	US 2001-760328	20010112

PRICRITY APPLN. INFO.: US 2000-219059P P 20000717

US 2000-220950P P 20000726
US 2001-760328 A2 20010112
OTHER SOURCE(S): MARPAT 136:229329

AB The present invention provides antimicrobial sulfonamide derivs. of lipopeptide antibiotics, pharmaceutical compns. of antimicrobial sulfonamide derivs., methods for making antimicrobial sulfonamide derivs., methods for inhibiting microbial growth with antimicrobial sulfonamide derivs. and methods for treating or preventing microbial infections in a subject with antimicrobial sulfonamide derivs. Antimicrobial sulfonamide derivs. are generally amino core antibiotics that have been further modified with a lipophilic sulfonyl group.

IC ICM A61K038-12
ICS C07K007-64
NCL 514009000
CC 10-5 (Microbial, Algal, and Fungal Biochemistry)
ST sulfonamide deriv **lipopeptide antibiotic**

IT Sulfonamides
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Actinoplanes utahensis
Fermentation
(deacylase fermn. for the prodn. of **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **Antibiotics**
(**lipopeptide; antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 62168-75-6P, Deacylase
RL: BPN (Biosynthetic preparation); CAT (Catalyst use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **392699-75-1P 392699-76-2P**
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 172454-99-8P **391865-45-5P 391865-46-6P**
391865-53-5P 392688-01-6P 392699-69-3P **392699-80-8P**
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **391865-54-6P** 392699-69-3DP, isomers **392699-79-5P**
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 4117-65-1P, **Aspartocin**
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 391865-47-7P 391865-48-8P 391865-49-9P 391865-50-2P 391865-51-3P 403608-68-4P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

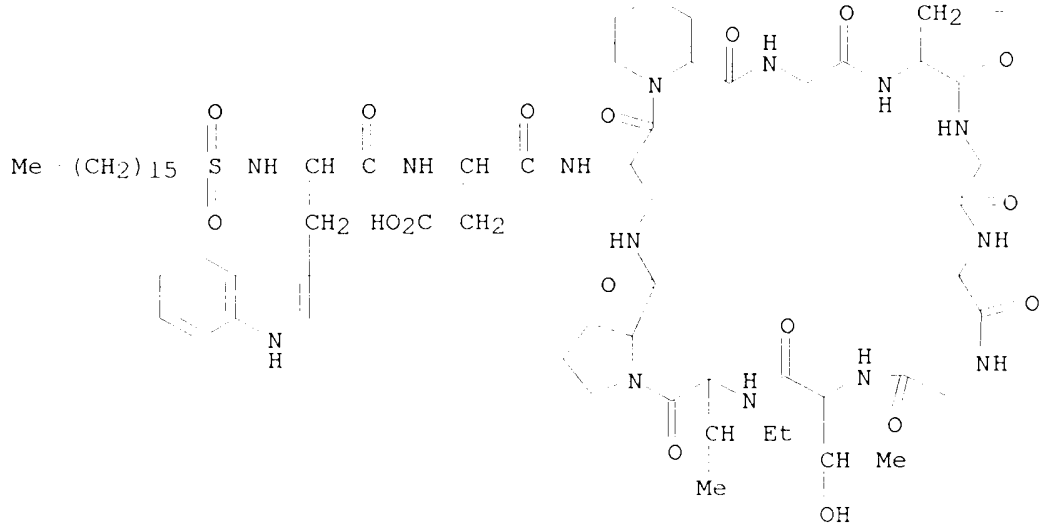
IT 538-75-0, Dicyclohexylcarbodiimide 1676-90-0 2592-95-2, 1-Hydroxybenzotriazole 391865-52-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **392699-75-1P 392699-76-2P**
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

RN 392699-75-1 HCAPLUS

CN L-Proline, N-(hexadecylsulfonyl)-L-tryptophyl-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



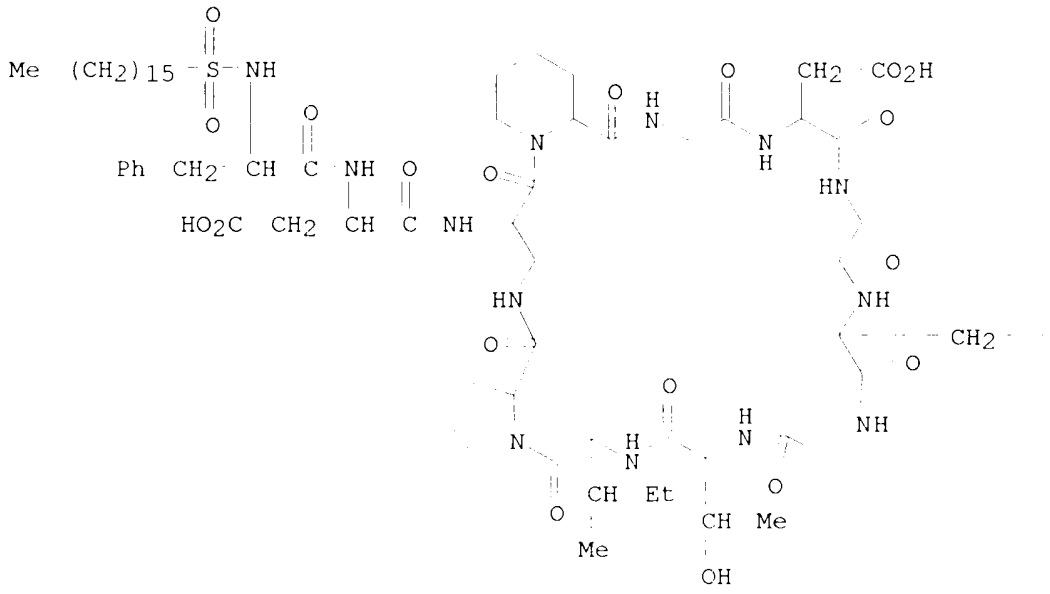
PAGE 1-B

CO_2H

CH_2 CO_2H

RN 392699-76-2 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

CO_2H

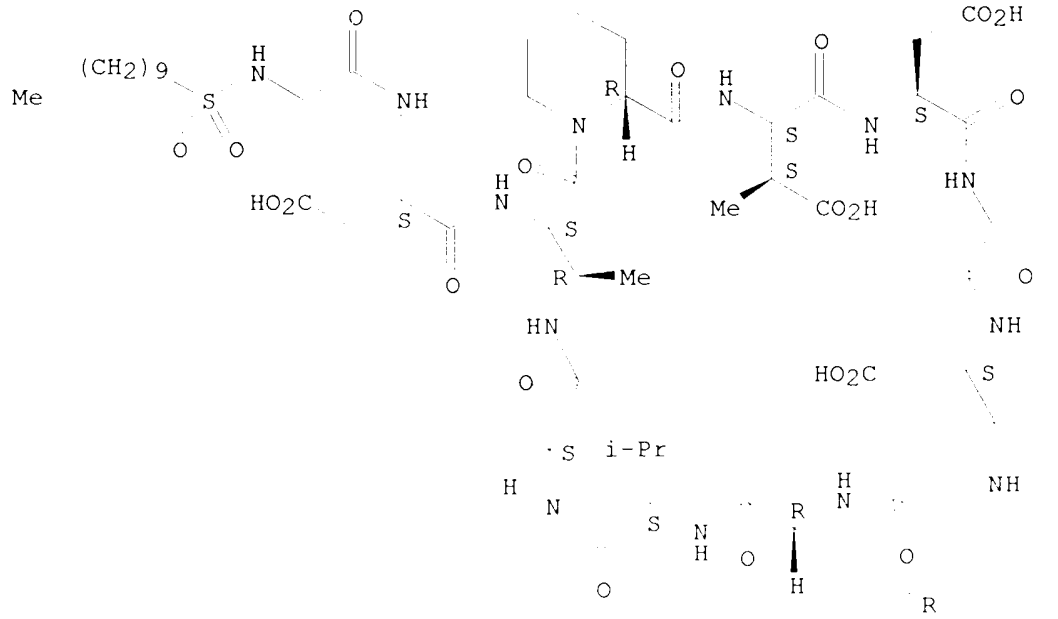
IT **391865-45-5P 391865-46-6P 391865-53-5P**
392699-80-8P
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

RN 391865-45-5 HCAPLUS

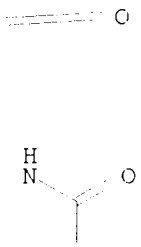
CN L-Proline, N-(decylsulfonyl)glycyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2-amino-3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]butanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



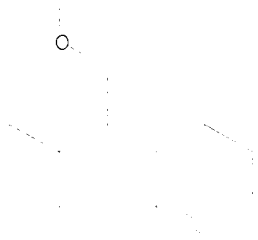
PAGE 1-B



PAGE 2-A

Me

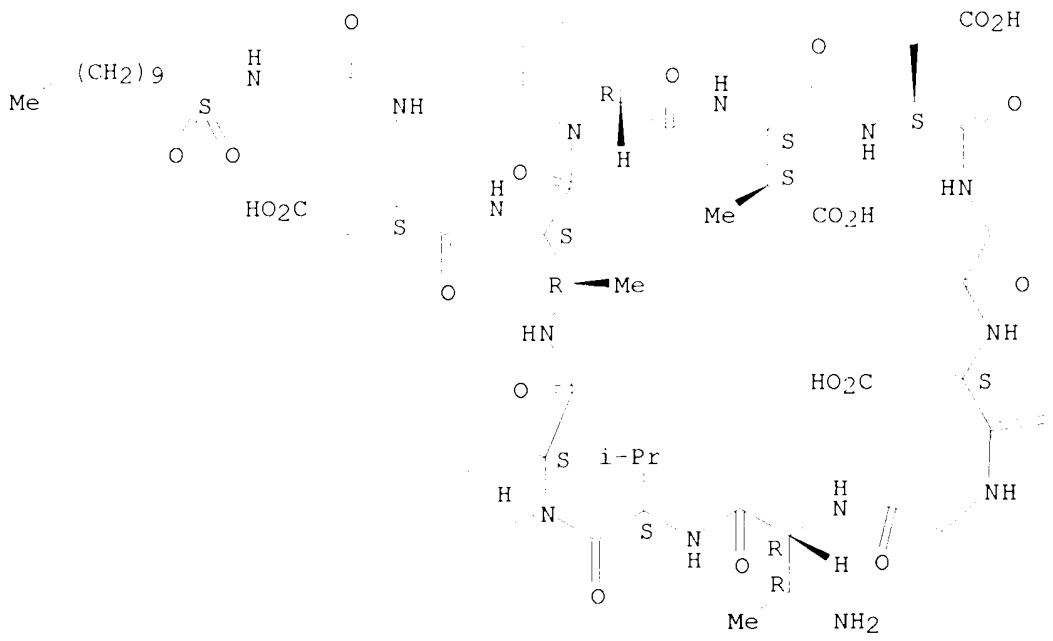
PAGE 2-B



RN 391865-46-6 HCAPLUS
CN L-Proline, N-(decylsulfonyl)glycyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2,3-diaminobutanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



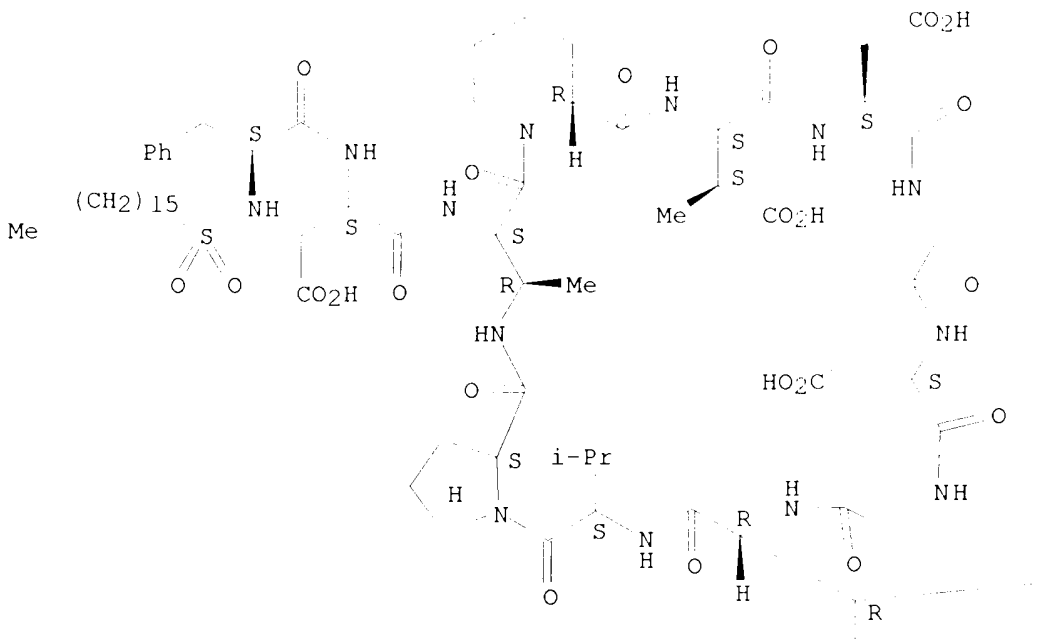
PAGE 1-B

O

RN 391865-53-5 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2-amino-3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]butanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A

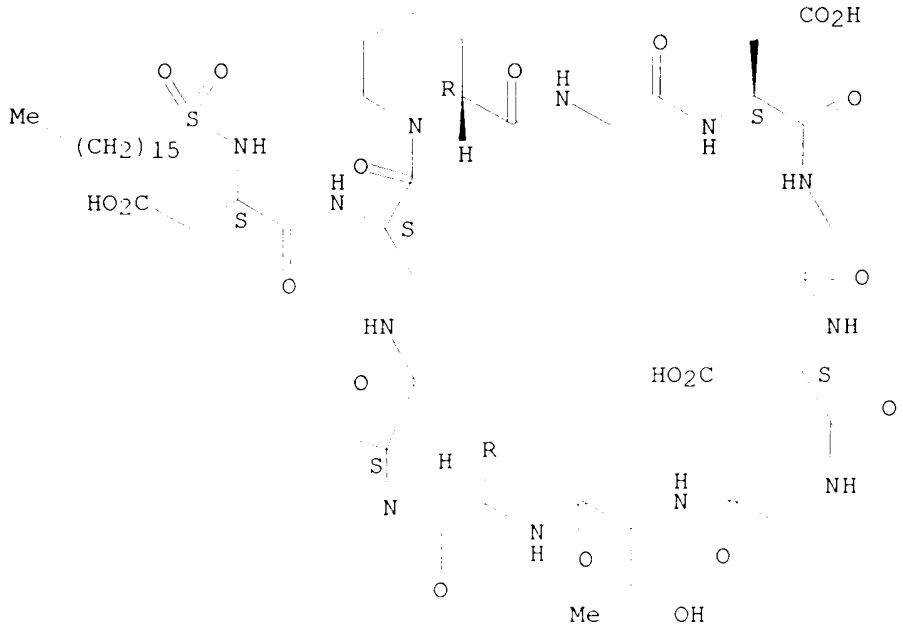
Me

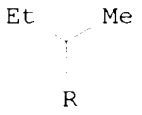
PAGE 2-B

RN 392699-80-8 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

PAGE 1-A



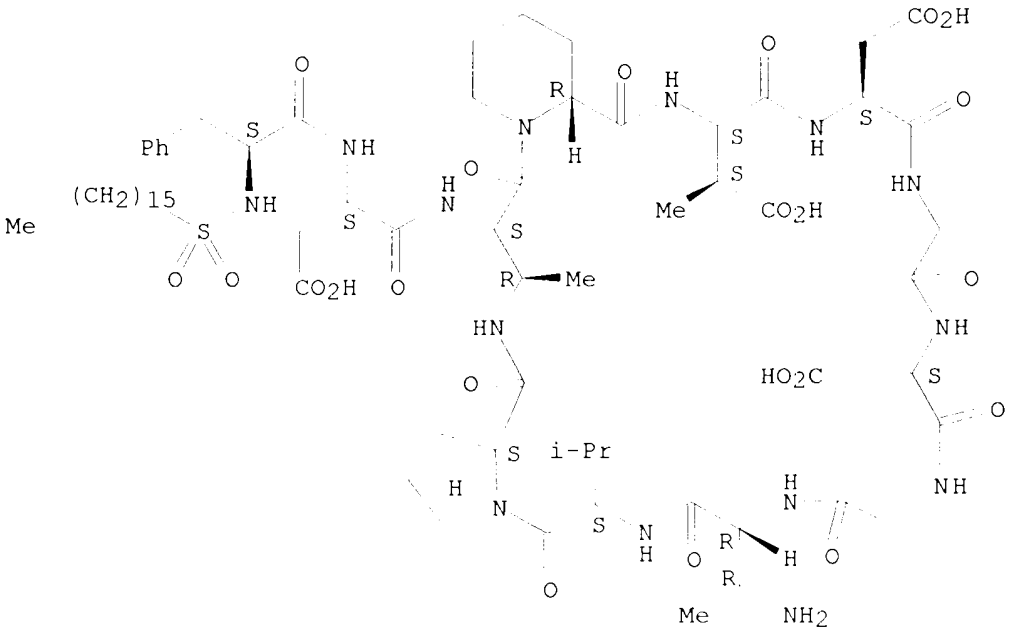


IT **391865-54-6P 392699-79-5P**
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

RN 391865-54-6 HCAPLUS

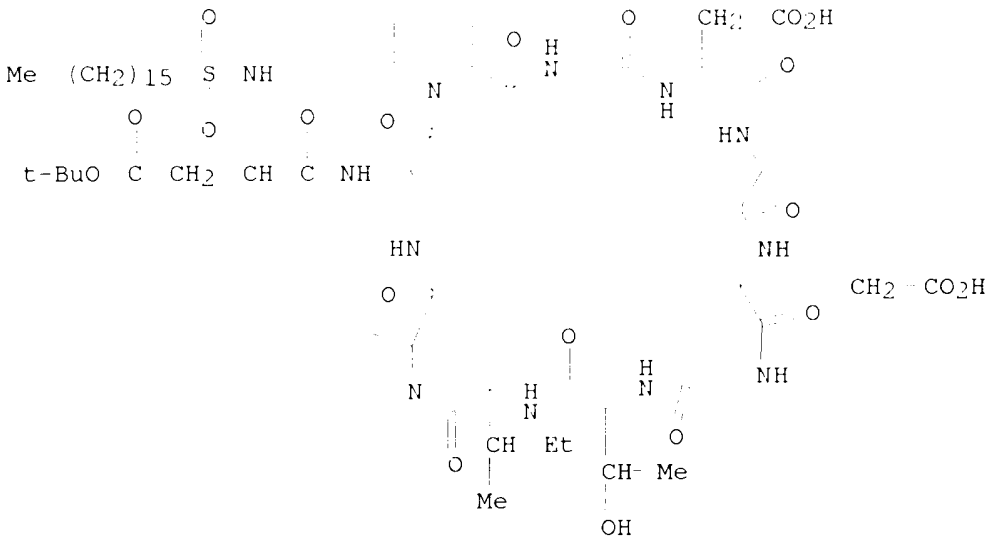
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2,3-diaminobutanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392699-79-5 HCAPLUS

CN L-Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, 1-(1,1-dimethylethyl) ester, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:71894 HCAPLUS
DOCUMENT NUMBER: 136:134619
TITLE: Derivatives of **laspartomycin** and preparation and use thereof
INVENTOR(S): Borders, Donald B.; Curran, William V.; Fantini, Amadeo A.; Francis, Norren D.; Jarolmen, Howard; Leese, Richard A.
PATENT ASSIGNEE(S): Intrabiotics Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005838	A1	20020124	WO 2001-US22353	20010717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6511962	B1	20030128	US 2001-760328	20010112

PRIORITY APPLN. INFO.: US 2000-219059P P 20000717
US 2000-220950P P 20000726
US 2001-760328 A 20010112

OTHER SOURCE(S): MARPAT 136:134619

AB The present invention provides laspartomycin core peptides, laspartomycin core peptide derivs., antimicrobial laspartomycin derivs., methods for

making laspartomycin core peptides, methods for making laspartomycin core peptide derivs., methods for making antimicrobial laspartomycin derivs., pharmaceutical compns. of antimicrobial laspartomycin derivs., methods of inhibiting microbial growth and methods for treating and/or preventing microbial infections in a subject.

IC ICM A61K038-12
ICS C07K007-56; C12P021-04

CC 26-6 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 10

ST **antibiotic laspartomycin** deriv

IT Actinoplanes utahensis
Antibiotics
Fermentation
(derivs. of **laspartomycin** and prepn. and use thereof)

IT Streptomyces viridochromogenes
(komabensis; derivs. of **laspartomycin** and prepn. and use thereof)

IT 62168-75-6P, Deacylase
RL: BPN (Biosynthetic preparation); CAT (Catalyst use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of **laspartomycin** and prepn. and use thereof)

IT 392656-28-9P
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(derivs. of **laspartomycin** and prepn. and use thereof)

IT 392699-69-3P
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)

IT 392656-33-6P
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)

IT 392656-55-2P 392656-56-3P 392656-59-6P 392656-63-2P 392656-64-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)

IT 392656-35-8P 392656-37-0P 392656-39-2P 392656-40-5P 392656-46-1P
392656-51-8P 392656-53-0P 392656-54-1P 392656-58-5P 392656-60-9P
392711-94-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(derivs. of **laspartomycin** and prepn. and use thereof)

IT 392656-43-8P 392656-45-0P **392656-49-4P** 392656-52-9P
392656-57-4P 392711-93-2P
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)

IT 392656-34-7P **392656-36-9P** 392656-38-1P 392656-41-6P
392656-42-7P 392656-44-9P **392656-50-7P**
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(derivs. of **laspartomycin** and prepn. and use thereof)

IT 392656-48-3 392656-61-0 392656-62-1 392656-65-4 392656-66-5
392711-92-1 392712-22-0
RL: PAC (Pharmacological activity); BIOL (Biological study)
(derivs. of **laspartomycin** and prepn. and use thereof)

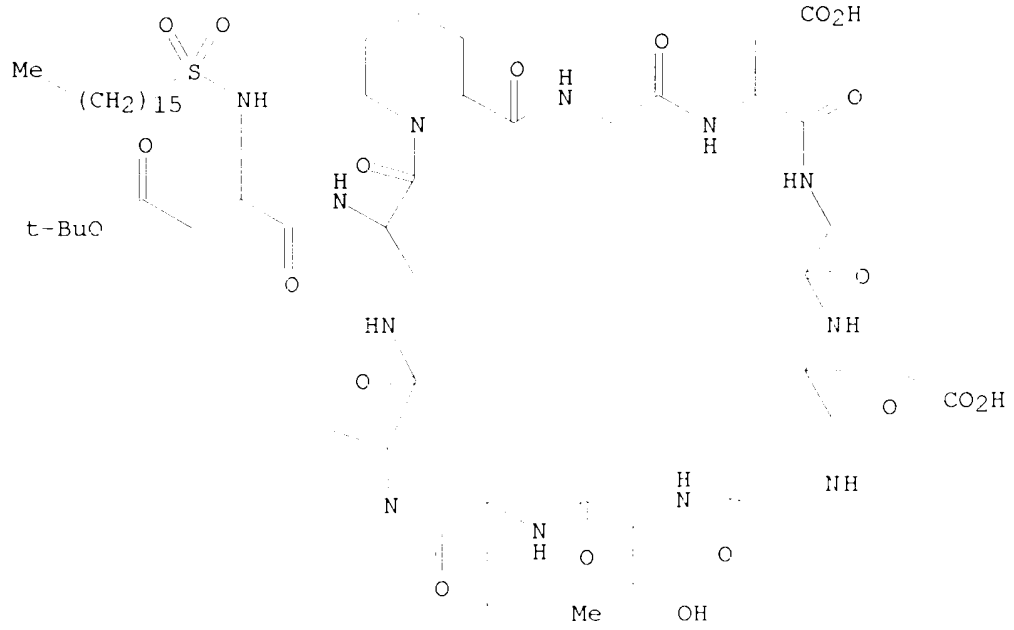
IT 1002-84-2, Pentadecanoic acid 1943-84-6, Hexadecylisocyanate
2177-63-1, L-Aspartic acid 4-O-benzyl ester 2312-15-4,
p-Dodecyloxybenzoic acid 2592-95-2, 1-Hydroxybenzotriazole 3224-48-4,
Tetradecylisothiocyanate 4202-38-4 5519-23-3, p-Decyloxybenzoic acid
24460-74-0, Dodecyl chloroformate 58725-40-9, p-Dodecanamidobenzoic acid
131803-81-1, Decanesulfonyl-L-phenylalanine 219901-81-2,
N-Pentadecanoyl-L-phenylalanine 391865-52-4 392335-55-6 392335-56-7
392335-57-8, N-Pentadecanoyl-D-phenylalanine 392335-58-9 392335-59-0
392335-60-3 392335-61-4 392335-62-5 392335-63-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)

IT **392656-49-4P**
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)

RN 392656-49-4 HCAPLUS

CN Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, 1-(1,1-dimethylethyl) ester, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

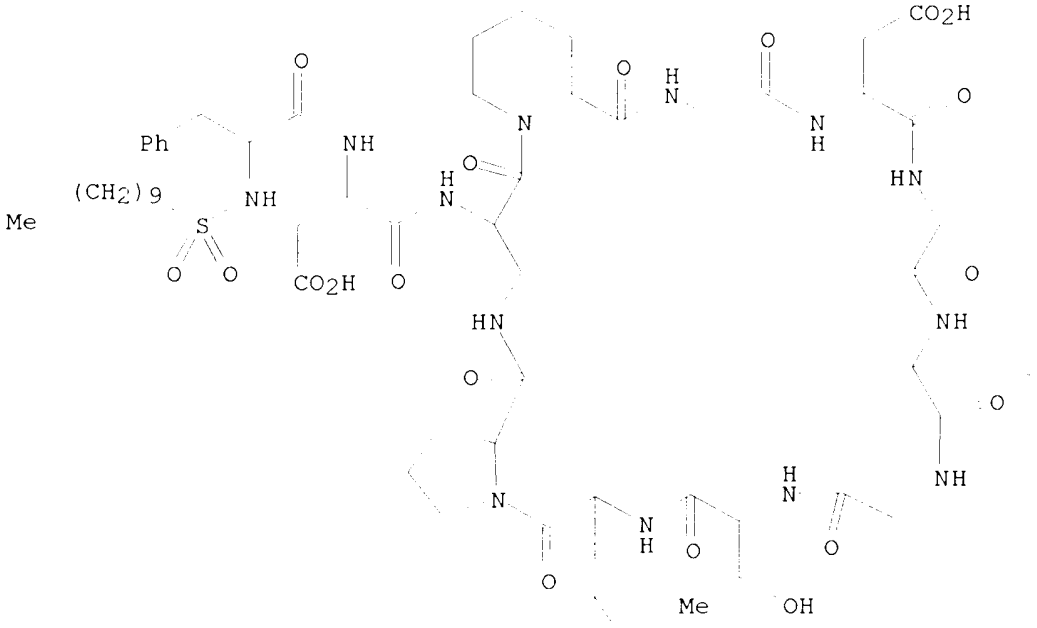
Et Me

IT **392656-36-9P 392656-50-7P**
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(derivs. of **laspartomycin** and prepn. and use thereof)

RN 392656-36-9 HCAPLUS

CN Proline, N-(decylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

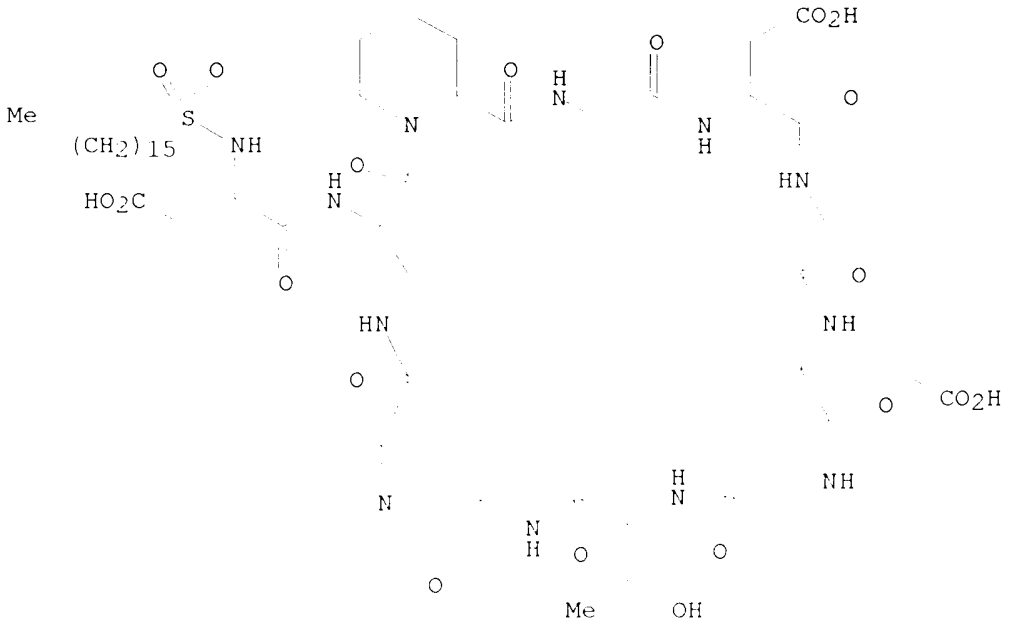
CO_2H

PAGE 2-A

Et Me

RN 392656-50-7 HCAPLUS
CN Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



Et Me

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:71893 HCAPLUS
DOCUMENT NUMBER: 136:129034
TITLE: **Antimicrobial** sulfonamide derivatives of **lipopeptide antibiotics**
INVENTOR(S): Curran, William V.; Leese, Richard A.; Jarolmen, Howard; Borders, Donald B.
PATENT ASSIGNEE(S): Intrabiotics Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005837	A1	20020124	WO 2001-US22352	20010717

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-219059P P 20000717
US 2000-220950P P 20000726

OTHER SOURCE(S): MARPAT 136:129034

AB The invention provides antimicrobial sulfonamide derivs. of lipopeptide antibiotics, pharmaceutical compns. of antimicrobial sulfonamide derivs., methods for making antimicrobial sulfonamide derivs., methods for inhibiting microbial growth with antimicrobial sulfonamide derivs., and methods for treating or preventing microbial infections in a subject with antimicrobial sulfonamide derivs. Antimicrobial sulfonamide derivs. are generally an amino core antibiotic that has been further modified with a lipophilic sulfonyl group.

IC ICM A61K038-12
ICS C07K007-56
CC 1-5 (Pharmacology)
Section cross-reference(s): 34, **63**
ST **antimicrobial** sulfonamide deriv **lipopeptide antibiotic** prepn
IT **Antibacterial** agents
Antibiotics

Antimicrobial agents
Drug delivery systems
Fermentation
Staphylococcus aureus
Sulfonylation
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **Lipopeptides**
Sulfonamides
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Sulfonyl halides
RL: RCT (Reactant); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Sulfonyl halides
RL: RCT (Reactant); RACT (Reactant or reagent)
(chlorides; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Peptides, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclic; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Actinoplanes utahensis
(deacylase from; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Esters, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(sulfonyl esters; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 62168-75-6P, Deacylase
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 172455-04-8P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 12676-61-8, **Laspartomycin**
RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **391865-46-6P 391865-54-6P** 392699-74-0P
392699-75-1P 392699-76-2P 392699-80-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 1402-82-0D, Amphomycin, derivs. 1405-08-9D, Zaomycin, derivs.
4117-65-1D, **Aspartocin**, derivs. 11054-63-0D, Tsushimycin,

derivs. 12676-61-8D, **Laspartomycin**, derivs. 37226-23-6D, Crystallomycin, derivs. 55467-31-7D, Cerexin A, derivs. 55467-34-0D, Cerexin B, derivs. 59979-14-5D, Brevistin, derivs. 63035-06-3D, **Antibiotic** A 30912, derivs. 82800-76-8D, **Antibiotic A 21978C**, derivs. 188793-60-4D, **Antibiotic** A 54145, derivs. 239802-15-4D, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 392688-01-6P
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 392699-69-3DP, isomers
RL: SPN (Synthetic preparation); PREP (Preparation)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 172454-99-8P **391865-45-5P** 391865-47-7P 391865-48-8P
391865-49-9P 391865-50-2P 391865-51-3P **391865-53-5P**
392699-69-3P 392699-71-7P 392699-72-8P **392699-79-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

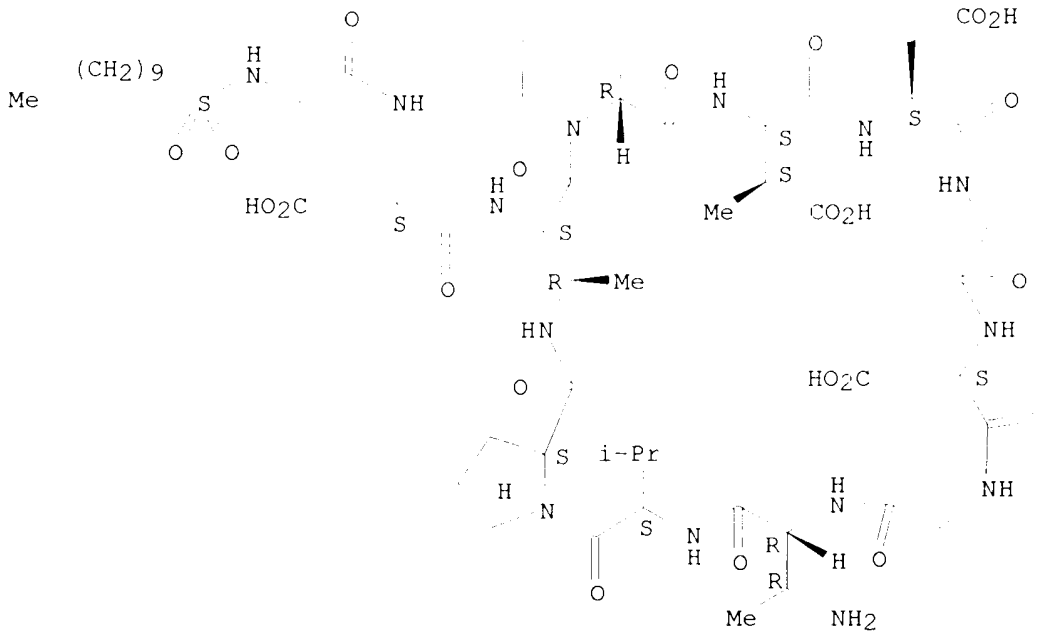
IT 2592-95-2D, 1-Hydroxybenzotriazole, esters 7524-50-7, L-Phenylalanine methyl ester hydrochloride 28920-43-6, FMOC chloride 38775-38-1, Hexadecylsulfonyl chloride 67557-19-1, Tryptophan methyl ester hydrochloride 391865-44-4 391865-52-4 392699-78-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **391865-46-6P 391865-54-6P 392699-75-1P**
392699-76-2P 392699-80-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

RN 391865-46-6 HCAPLUS
CN L-Proline, N-(decylsulfonyl)glycyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2,3-diaminobutanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

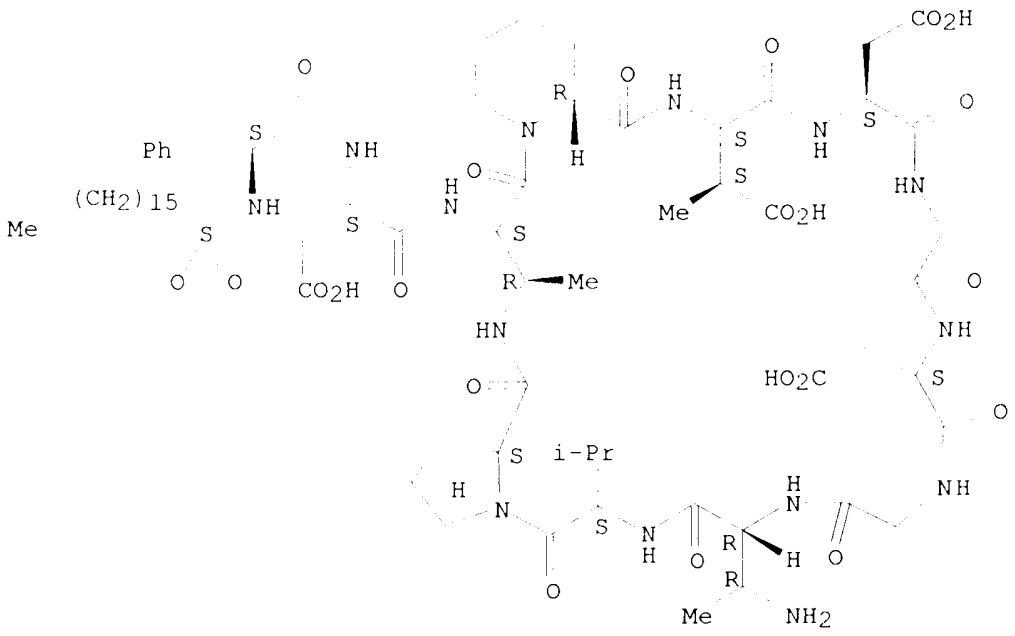


PAGE 1-B

O

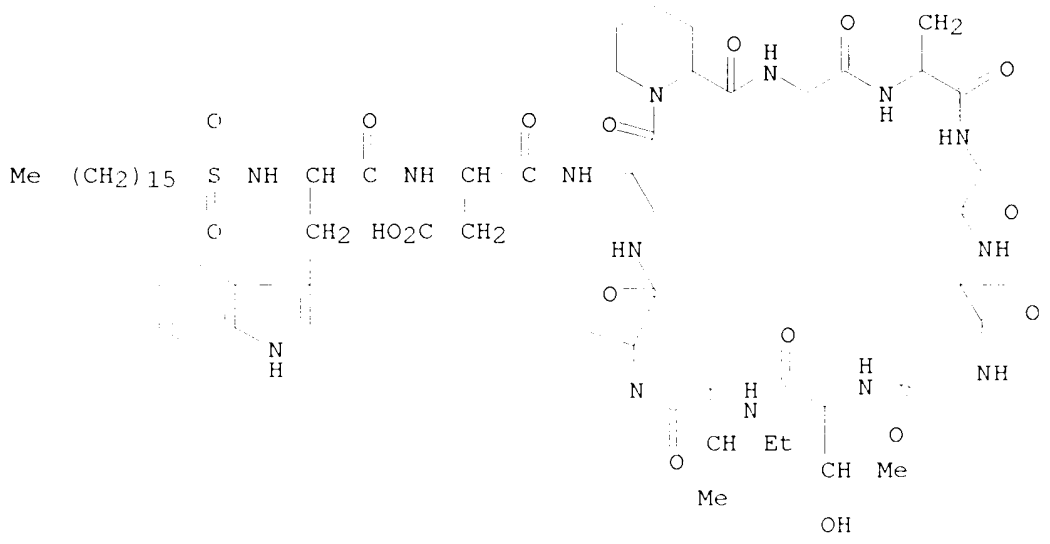
RN 391865-54-6 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2,3-diaminobutanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392699-75-1 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-tryptophyl-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



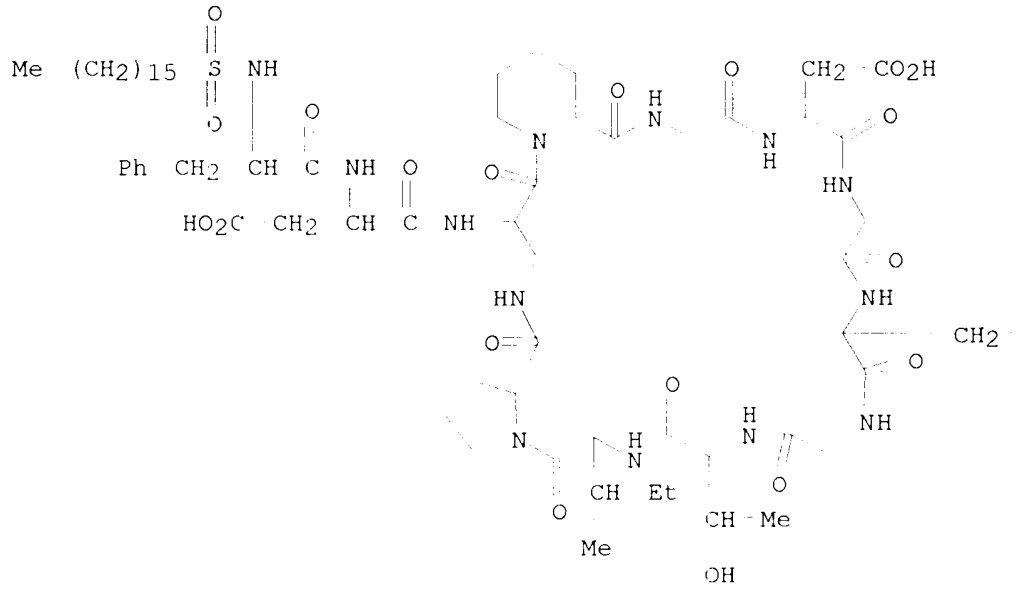
PAGE 1-B

CO_2H

CH_2 CO_2H

RN 392699-76-2 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



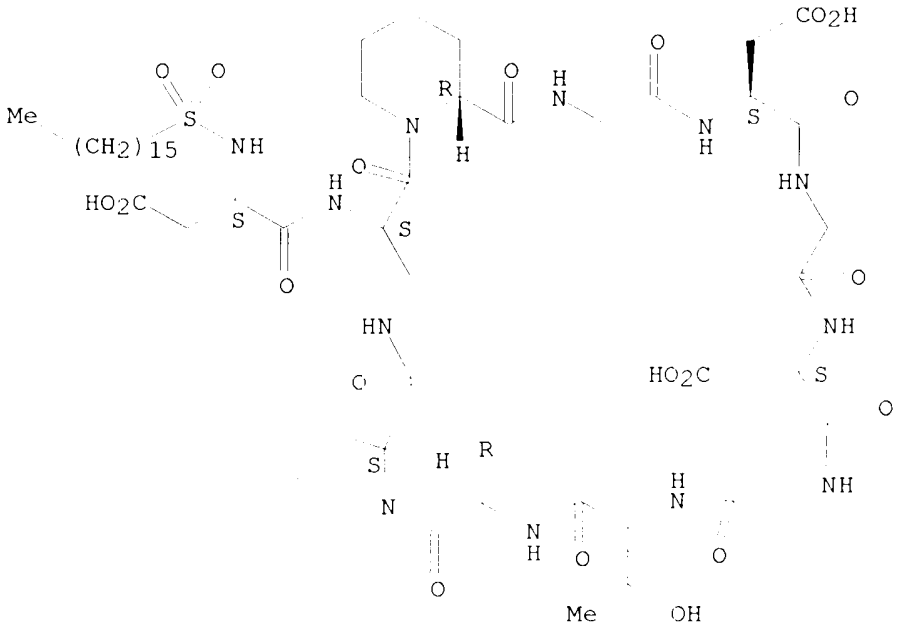
PAGE 1-B

CO_2H

RN 392699-80-8 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

PAGE 1-A



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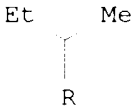


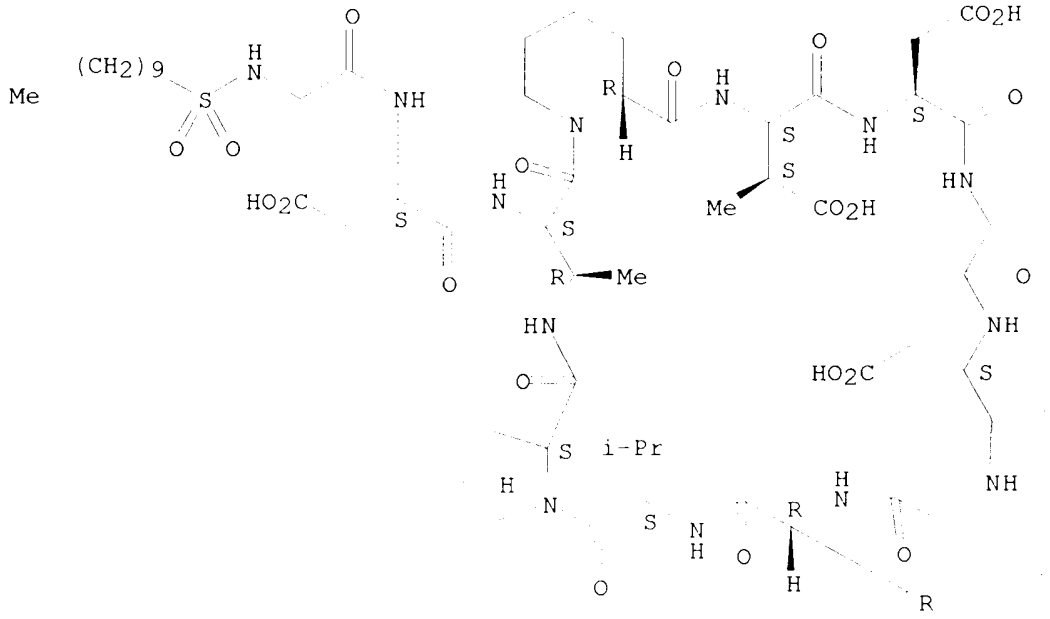
IT **391865-45-5P 391865-53-5P 392699-79-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

RN 391865-45-5 HCAPLUS

CN L-Proline, N-(decylsulfonyl)glycyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2-amino-3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]butanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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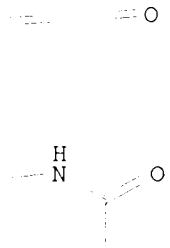

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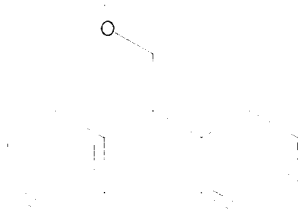
Me

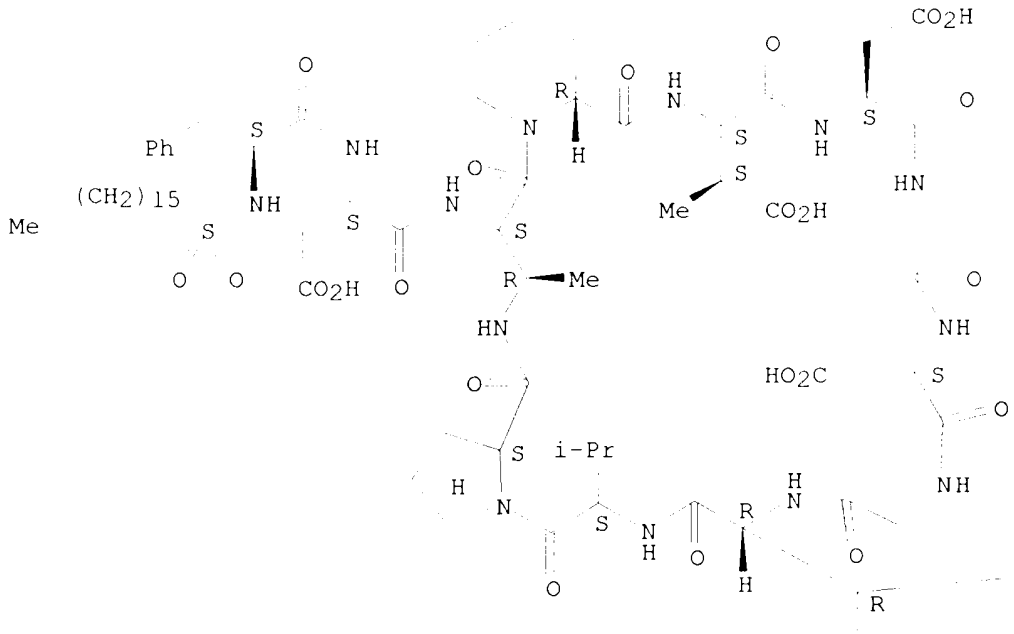
PAGE 2-B



RN 391865-53-5 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2-amino-3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]butanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

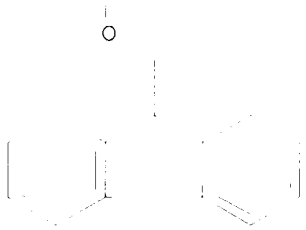


PAGE 1-B

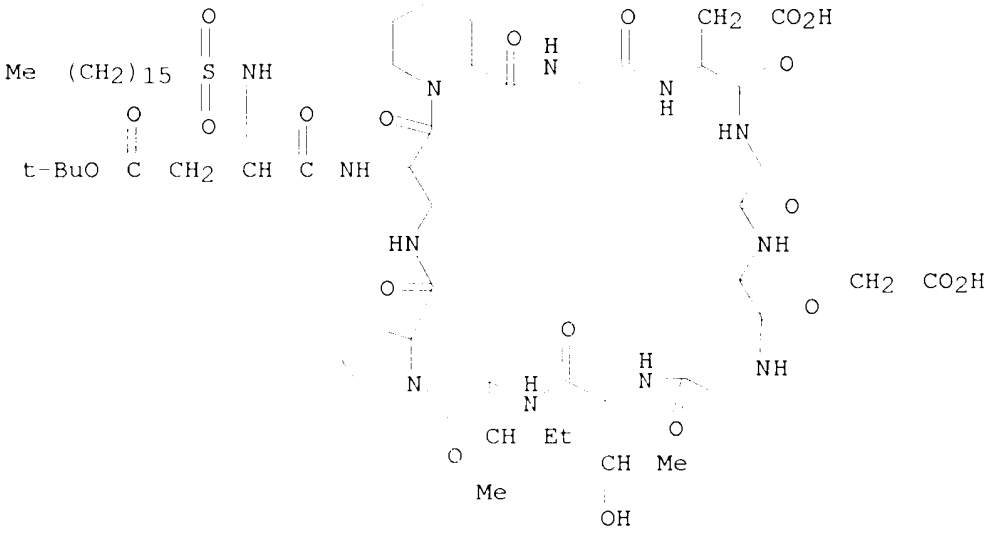
PAGE 2-A

Me

PAGE 2-B



RN 392699-79-5 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, 1-(1,1-dimethylethyl) ester, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:31525 HCAPLUS
DOCUMENT NUMBER: 134:101193
TITLE: Preparation of peptide boronic acid inhibitors of hepatitis C virus protease
INVENTOR(S): Kettner, Charles A.; Jagannathan, Sharada; Forsyth, Timothy Patrick

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 258 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002424	A2	20010111	WO 2000-US18655	20000707
WO 2001002424	A3	20010719		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 2000057888	A5	20010122	AU 2000-57888	20000707
EP 1196436	A2	20020417	EP 2000-943413	20000707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-142561P P 19990707
WO 2000-US18655 W 20000707

OTHER SOURCE(S): MARPAT 134:101193

AB .alpha.-Aminoboronic acids and corresponding peptide analogs R3-A-NR2CHR1BY1Y2 [Y1, Y2 = OH, F, an amino group, alkoxy or BY1Y2 is a cyclic boron ester, amide or amide-ester; R1 = CH:CH2, CH2CH:CH2, CH:CHCH3, C.tplbond.CH, C.tplbond.CCH3, CH2C.tplbond.CH, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclobutylmethyl, mercaptoalkyl, alkyldithioalkyl, etc.; A is a bond, a natural or unnatural amino acid residue, or a peptide residue comprising 2-10 amino acids; R2 = H, alkyl, aryl, arylalkyl, cycloalkyl; R3 = H, alkanoyl, alkyl, alkenyl, alkynyl, aryl, carbalkoxy, alkylsulfinyl, alkylsulfonyl, carbamoyl, etc.] were prepd. for the treatment of hepatitis C viral infections. Thus, Boc-Asp(OBu-t)-Glu(OBu-t)-Val-Val-Pro-boroCpa-OH pinanediol ester (Boc = tert-butoxycarbonyl, boroCpa is L-2-amino-3-cyclopropylboronic acid residue) was prepd. by std. methods of peptide coupling in soln. Enzyme assays, dosages and formulations are discussed.

IC ICM C07K
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 10, 29, **63**

IT 319006-73-0P 319006-75-2P 319006-77-4P 319006-79-6P 319006-81-0P
319006-83-2P 319006-85-4P 319006-87-6P 319006-89-8P 319006-91-2P
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide boronic acid inhibitors of hepatitis C virus protease)

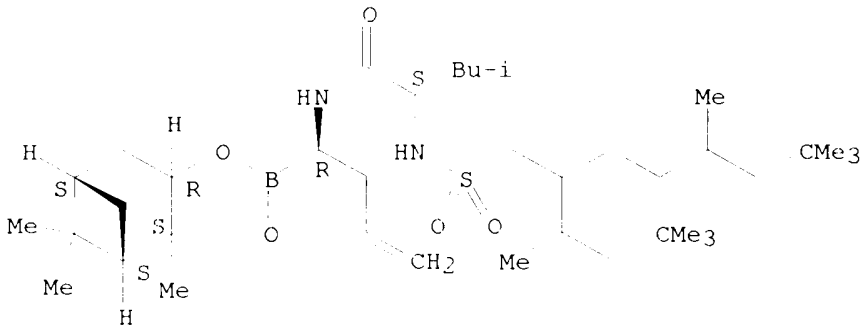
IT **319428-28-9P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide boronic acid inhibitors of hepatitis C virus protease)

RN 319428-28-9 HCAPLUS

CN Pentanamide, N-[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-butenyl]-4-methyl-2-[[5,7,7-trimethyl-2-(1,3,3-trimethylbutyl)octyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:190916 HCAPLUS
DOCUMENT NUMBER: 132:236806
TITLE: Preparation of remedial or preventive agents for congestive heart failure
INVENTOR(S): Watanabe, Fumihiko; Gemba, Takefumi; Tsuzuki, Hiroshige; Shimamura, Toshitake
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015213	A1	20000323	WO 1999-JP4859	19990908

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9956470	A1	20000403	AU 1999-56470	19990908

PRIORITY APPLN. INFO.: JP 1998-258033 A 19980911
WO 1999-JP4859 W 19990908

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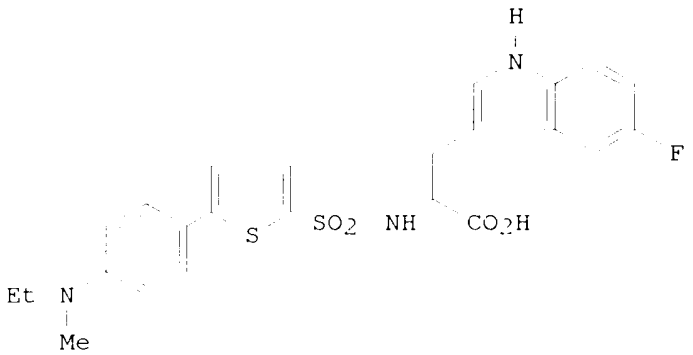

II

AB Title compds. (R)-R5R4R3SO2N(R2)CH(R1)COY [I; R1 and R2 each represents hydrogen, optionally substituted lower alkyl, optionally substituted (hetero)aryl, etc.; R3 represents optionally substituted (hetero)arylene, etc.; R4 represents, e.g., a single bond, CC, or a group represented by Q, R5 represents optionally substituted (hetero)aryl, optionally substituted nonarom. heterocyclic group, etc.; and Y represents NHOH or OH], stereoisomers, pharmacol. acceptable salts, and hydrates are prepd. as remedial or preventive agents for congestive heart failure in mammal. The title compd. (S)-II was prepd.

IC ICM A61K031-16
ICS A61K031-165; A61K031-195; A61K031-17; A61K031-42; A61K031-41; A61K031-34; A61K031-425; A61K031-405; A61K031-38; A61K031-535; A61K031-44

CC 23-16 (Aliphatic Compounds)
Section cross-reference(s): 1, 34, **63**

IT 56176-31-9P 70136-17-3P 130633-87-3P 140645-36-9P 177583-41-4P 188006-04-4P 188006-06-6P 188006-15-7P 188006-26-0P 188006-42-0P 188006-46-4P 193807-58-8P 193807-60-2P 193807-62-4P 193807-68-0P 193807-70-4P 193807-72-6P 193807-74-8P 193807-76-0P 193807-77-1P 193807-78-2P 193807-79-3P 193807-80-6P 193807-81-7P 193807-82-8P 193807-86-2P 193807-87-3P 193807-88-4P 193807-89-5P 193807-90-8P

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220043-19-6P 220043-20-9P 220043-21-0P 220043-22-1P 220043-23-2P
220043-24-3P 220043-25-4P 220043-26-5P 220043-27-6P 220043-28-7P
220043-29-8P 220043-30-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of remedial or preventive agents for congestive heart failure)

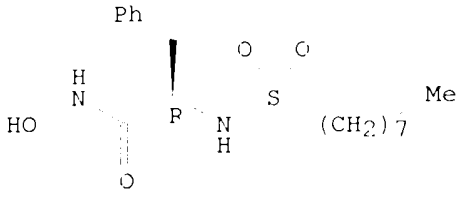
IT **193808-78-5P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of remedial or preventive agents for congestive heart failure)

RN 193808-78-5 HCAPLUS

CN Benzenepropanamide, N-hydroxy-.alpha.-[(octylsulfonyl)amino]-, (.alpha.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

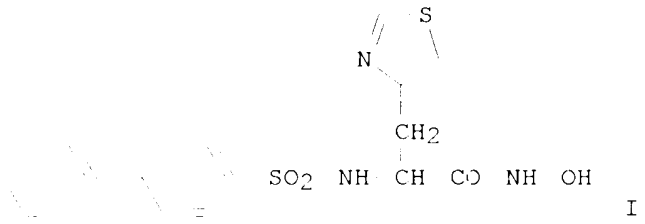


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:579153 HCAPLUS
DOCUMENT NUMBER: 131:214280
TITLE: Preparation of sulfonamides as MMP-8 inhibitors
INVENTOR(S): Watanabe, Fumihiko; Tsumiki, Hiroshige
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11246527	A2	19990914	JP 1998-49260	19980302

PRIORITY APPLN. INFO.: JP 1998-49260 19980302
OTHER SOURCE(S): MARPAT 131:214280
GI



AB The title compds. R4R3SO2N(R2)CH(R1)COY [R1 = (un)substituted alkyl, etc.; R2 = H, alkyl, etc.; R3 = phenylene, etc.; R4 = (un)substituted phenyl; Y = NHOH, OH] are prepd. The title compd. I at 1000 nM gave 97.6% inhibition of MMP-8. Formulations are given.
IC ICM C07D213-55
ICS A61K031-18; A61K031-34; A61K031-38; A61K031-405; A61K031-41; A61K031-425; A61K031-44; C07C311-19; C07C311-29; C07C311-37; C07D209-20; C07D213-56; C07D257-04; C07D277-16; C07D307-91; C07D333-34; C07D403-12; C07D409-12
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 27, 34, **63**
IT 130633-87-3P 140645-36-9P 193807-58-8P 193807-60-2P 193807-62-4P 193807-68-0P 193807-70-4P 193807-72-6P 193807-76-0P 193807-81-7P

193807-82-8P 193807-86-2P 193807-87-3P 193807-90-8P 193807-92-0P
193807-94-2P 193808-13-8P 193808-18-3P 193808-44-5P 193808-49-0P
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203640-21-5P 206758-43-2P 220042-86-4P 220042-87-5P 220043-10-7P
220043-30-1P 220043-32-3P 220043-34-5P 220043-40-3P 220043-41-4P
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243144-04-9P 243144-05-0P 243144-06-1P 243144-07-2P 243144-08-3P
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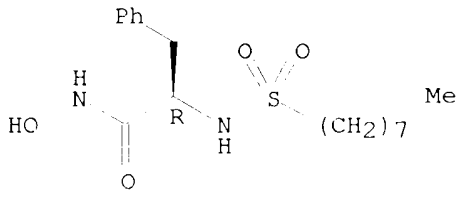
243144-14-1P 243144-15-2P 243144-16-3P 243144-17-4P 243144-18-5P
243144-93-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamides as MMP-8 inhibitors)

IT **193808-78-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamides as MMP-8 inhibitors)

RN 193808-78-5 HCAPLUS

CN Benzenepropanamide, N-hydroxy-.alpha.-[(octylsulfonyl)amino]-, (.alpha.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



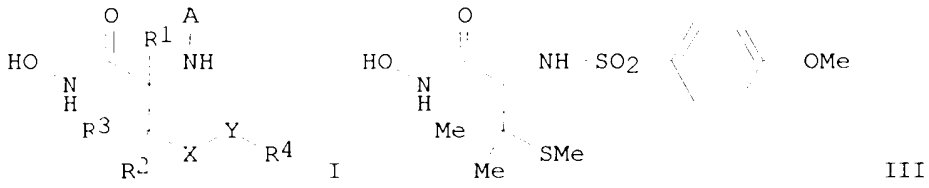
L9 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:113626 HCAPLUS
DOCUMENT NUMBER: 130:168652
TITLE: Preparation of substituted amino acid N-hydroxyamides as metalloprotease inhibitors
INVENTOR(S): Almstead, Neil Gregory; Bookland, Roger Gunnard; Taiwo, Yetunde Olabisi; Bradley, Rimma Sandler; Bush, Rodney Dean; De, Biswanath; Natchus, Michael George; Pikul, Stanislaw
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906340	A2	19990211	WO 1998-IB1139	19980727
WO 9906340	A3	19990930		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9882376	A1	19990222	AU 1998-82376	19980727
AU 746877	B2	20020502		
EP 1009737	A2	20000621	EP 1998-932460	19980727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9810841	A	20010710	BR 1998-10841	19980727
JP 2001513484	T2	20010904	JP 2000-505105	19980727
US 6218389	B1	20010417	US 1998-127678	19980731
NO 2000000464	A	20000330	NO 2000-464	20000128

PRIORITY APPLN. INFO.: US 1997-54348P P 19970731
WO 1998-IB1139 W 19980727

OTHER SOURCE(S): MARPAT 130:168652
GI



AB The invention provides title compds. I [A = SO2Ar, COAr, CONHAr, P(O)(R)Ar; Ar = (un)substituted mono- or bicyclic aryl or heteroaryl; R1 = H, alkyl; R2-R4 = independently H, (un)substituted alkyl, aryl, heteroaryl, arylalkyl, alkoxyalkyl, heterocyclyl, heterocyclylalkyl; R1R2, R2R3, R3R4 may form rings; X = bond, C1-6 alkyl, CO, O, N, NZ, S, S(O), SO2; Y = bond, C1-6 alkyl, CO, CO2, CONH, O, N, NZ, S, S(O), SO2; Z = H, COR4, CO2R4, CONHR4, R4, C(S)R4, CSNHR4, SO2R4] or an optical isomer, diastereomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable amide, ester, or imide thereof are useful as inhibitors of metalloproteases. Also disclosed are pharmaceutical compns. and methods of treating diseases, disorders and conditions characterized by metalloprotease activity using these compds. or the pharmaceutical compns. contg. them. Thus, S-methylation of D-penicillamine (D-Pen) with Me2SO4 and Ba(OH)2, followed by N-sulfonylation with 4-MeOC6H4SO2Cl gave 73% adduct 4-MeOC6H4SO2-D-Pen(Me)-OH (II). Acid chlorination of II with oxalyl chloride, followed by amidation with hydroxylamine gave desired N-hydroxyamide III in 65% yield.

IC ICM C07C
CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, **63**
IT 1499-54-3P 65654-27-5P 73912-91-1P 192570-15-3P 193807-76-0P
193807-79-3P 206758-43-2P 210755-44-5P 220389-78-6P 220389-79-7P
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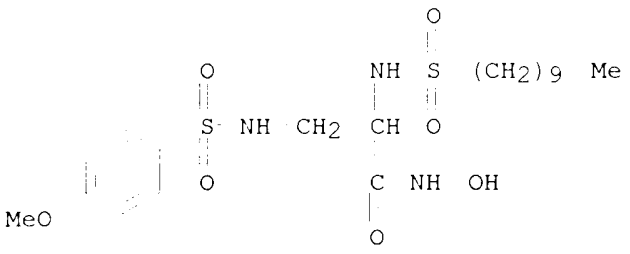
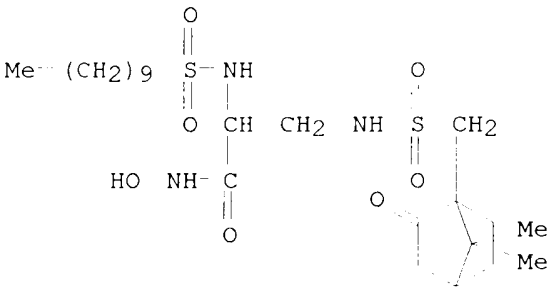
220391-64-0P 220391-65-1P 220391-66-2P 220391-67-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amino acid N-hydroxyamides as metalloprotease inhibitors)
IT **220391-45-7P 220391-46-8P 220391-48-0P**
220391-50-4P 220391-52-6P 220391-54-8P
220391-55-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amino acid N-hydroxyamides as metalloprotease inhibitors)
RN 220391-45-7 HCAPLUS
CN Propanamide, 2-[(decylsulfonyl)amino]-N-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 220391-46-8 HCAPLUS
CN Propanamide, 2-[(decylsulfonyl)amino]-3-[[[(7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 220391-48-0 HCAPLUS
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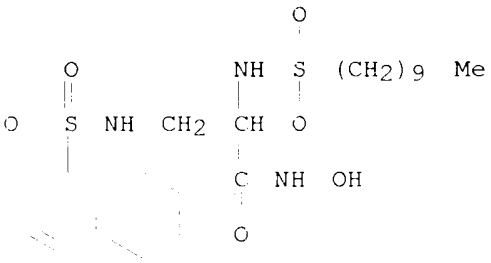
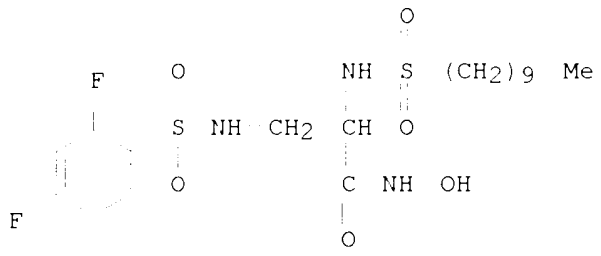
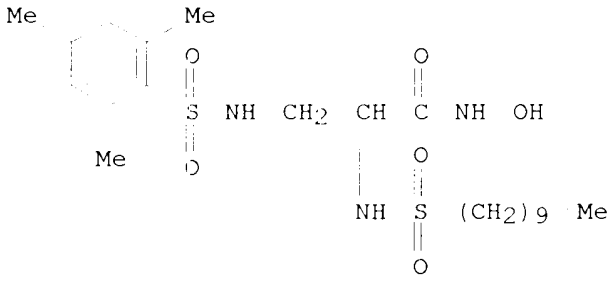


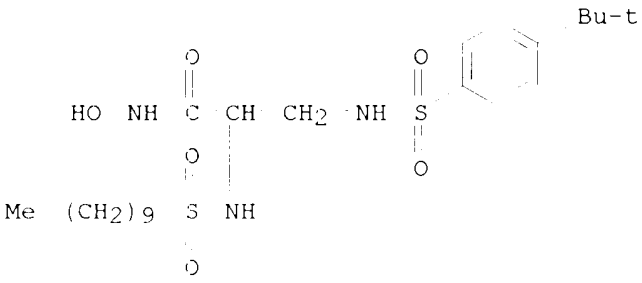
RN 220391-50-4 HCAPLUS
CN Propanamide, 2-[(decylsulfonyl)amino]-3-[[(2,4-difluorophenyl)sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 220391-52-6 HCAPLUS
CN Propanamide, 2-[(decylsulfonyl)amino]-N-hydroxy-3-[[(2,4,6-trimethylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 220391-54-8 HCAPLUS
CN Propanamide, 2-[(decylsulfonyl)amino]-3-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 220391-55-9 HCAPLUS
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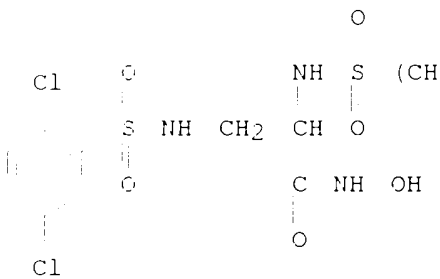


L9 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:246624 HCAPLUS
DOCUMENT NUMBER: 129:32318
TITLE: Cataract curative medicine.
INVENTOR(S): Watanabe, Toshiaki; Yoshii, Shigehiko; Saito, Kenichi; Ando, Ryoichi
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 74 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10101557	A2	19980421	JP 1997-197216	19970723

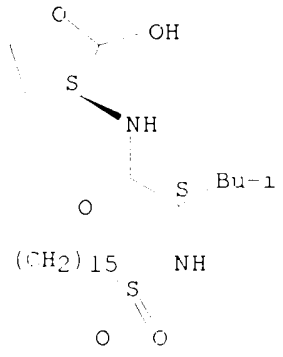
PRIORITY APPLN. INFO.: JP 1996-208540 19960807
OTHER SOURCE(S): MARPAT 129:32318
GI For diagram(s), see printed CA Issue.
AB The cataract curative medicine has an effective component of structure (I), its salt, solvate, or hydrate, where R1 is R4-CO-, R4-O-CO-, or R4-SO2- (R4: C1-20 alkyl), R2 is C1-C6 alkyl, R3 is H or R5-CO- (R5: C1-10 alkyl), and A is C1-3 alkylene. Thus, 998 mg N-phenylsulfonyl-L-leucine was react with 6 mL SO2Cl2 and 443 mg homoserine lactone to give (S)-3-[(S)-4-methyl-2-phenylsulfonylaminovalerylamino]-2-tetrahydrofuranone 861 mg, which was reacted with hydrogendiisobutylaluminum to give (3S)-3-[(S)-4-Methyl-2-phenylsulfonylaminovalerylamino]-2-tetrahydrofuranol 191 mg, which showed strong calpain inhibition activity (I C50 0.62 .mu.M).
IC ICM A61K031-335
ICS A61K031-34; A61K031-35; C07D305-08; C07D307-22; C07D309-14
CC **63-6** (Pharmaceuticals)
Section cross-reference(s): 12, 27
IT 167765-43-7P 201155-13-7DP, salts, solvates, or hydrates 201155-13-7P 201155-17-1DP, salts, solvates, or hydrates 201155-17-1P 201155-19-3P 201155-21-7P 201155-23-9P 201155-25-1P 201155-28-4DP, salts, solvates, or hydrates 201155-28-4P 201155-29-5P 201155-30-8P **201155-32-0DP**, salts, solvates, or hydrates **201155-32-0P** 201155-33-1P 201155-34-2DP, salts, solvates, or hydrates 201155-34-2P 201155-35-3P 201155-36-4P 201155-37-5P 201155-38-6DP, salts, solvates, or hydrates 201155-38-6P 201155-39-7DP, salts, solvates, or hydrates 201155-39-7P 201155-40-0DP, salts, solvates, or hydrates 201155-40-0P 201155-41-1DP, salts, solvates, or hydrates 201155-41-1P

201155-42-2P **201155-44-4DP**, salts, solvates, or hydrates **201155-44-4P** 201155-46-6P 201155-47-7DP, salts, solvates, or hydrates 201155-47-7P 201155-49-9P 201155-50-2P 201155-51-3DP, salts, solvates, or hydrates 201155-51-3P 201155-52-4DP, salts, solvates, or hydrates 201155-52-4P 201155-53-5DP, salts, solvates, or hydrates 201155-53-5P 201155-54-6DP, salts, solvates, or hydrates 201155-54-6P 201155-55-7DP, salts, solvates, or hydrates 201155-55-7P **201155-57-9DP**, salts, solvates, or hydrates **201155-57-9P** **201155-58-0DP**, salts, solvates, or hydrates **201155-58-0P** **201155-59-1DP**, salts, solvates, or hydrates **201155-59-1P** **201155-60-4DP**, salts, solvates, or hydrates **201155-60-4P** 201155-67-1DP, salts, solvates, or hydrates 201155-67-1P 201157-12-2DP, salts, solvates, or hydrates 201157-12-2P 201157-68-8P 207500-73-0P 207500-74-1P 207500-75-2P 207500-76-3DP, salts, solvates, or hydrates 207500-76-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cataract curative medicine)

IT **201155-32-0DP**, salts, solvates, or hydrates **201155-32-0P** **201155-44-4DP**, salts, solvates, or hydrates **201155-44-4P** **201155-57-9DP**, salts, solvates, or hydrates **201155-57-9P** **201155-58-0DP**, salts, solvates, or hydrates **201155-58-0P** **201155-59-1DP**, salts, solvates, or hydrates **201155-59-1P** **201155-60-4DP**, salts, solvates, or hydrates **201155-60-4P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cataract curative medicine)

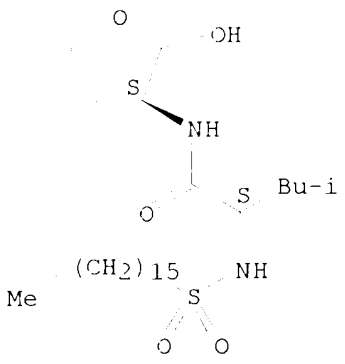
RN 201155-32-0 HCAPLUS
CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



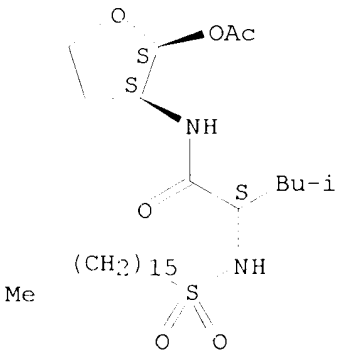
RN 201155-32-0 HCAPLUS
CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



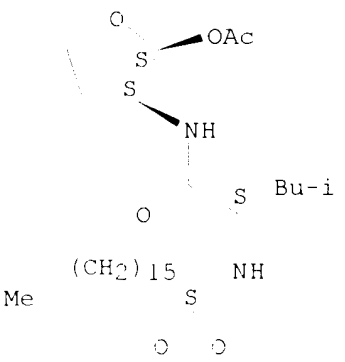
RN 201155-44-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



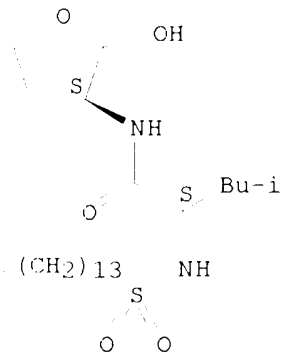
RN 201155-44-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



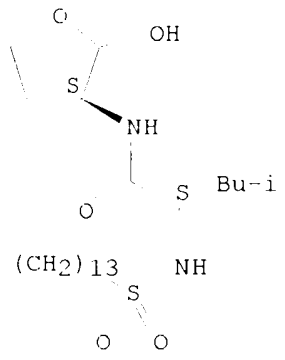
RN 201155-57-9 HCAPLUS
CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



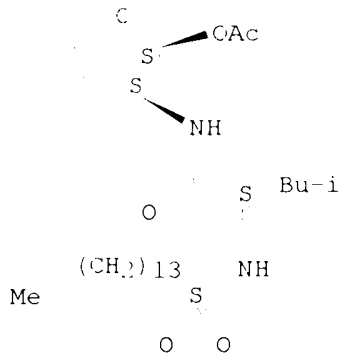
RN 201155-57-9 HCAPLUS
CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



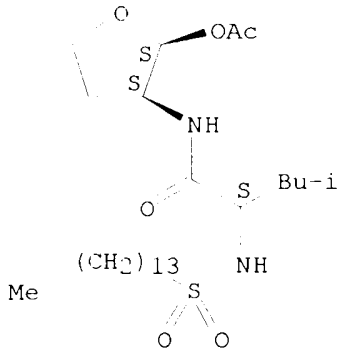
RN 201155-58-0 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



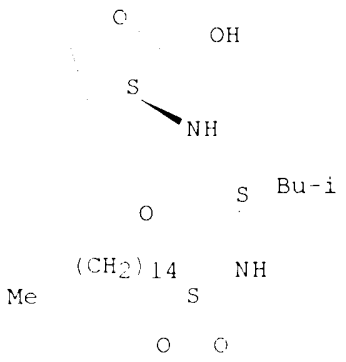
RN 201155-58-0 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



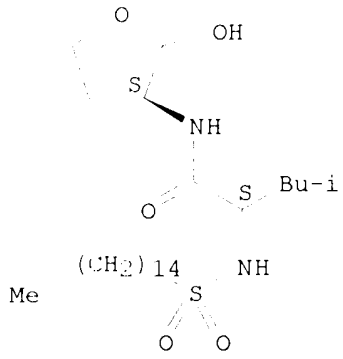
RN 201155-59-1 HCAPLUS
CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



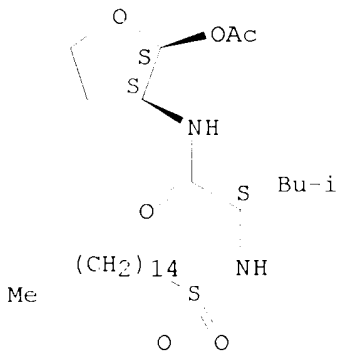
RN 201155-59-1 HCAPLUS
CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



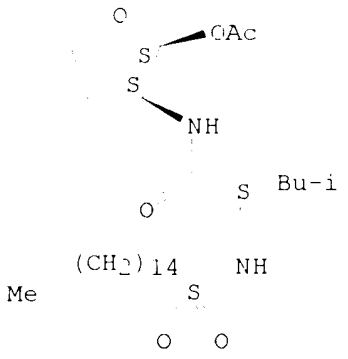
RN 201155-60-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-60-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

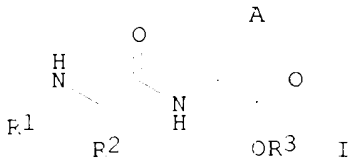
Absolute stereochemistry.



L9 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:108078 HCAPLUS
DOCUMENT NUMBER: 128:226249
TITLE: Platelet aggregation inhibitors containing oxygen-heterocycles
INVENTOR(S): Yoshii, Shigehiko; Saito, Kenichi; Ando, Ryoichi
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 71 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10045584	A2	19980217	JP 1996-208530	19960807

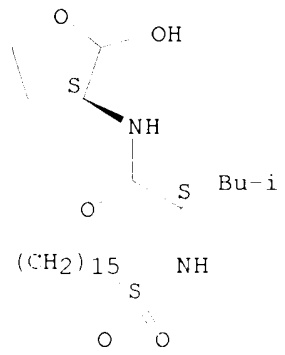
PRIORITY APPLN. INFO.: JP 1996-208530 19960807
OTHER SOURCE(S): MARPAT 128:226249
GI



AB The platelet aggregation inhibitors contain O-heterocycles I [R1 = COR4, CO2R4, SO2R4 [R4 = C1-20 alkyl which may be substituted with (un)substituted C6-14 aryl, C3-8 cycloalkyl, (un)substituted C6-14 aryl]; R3 = H, COR5 (R5 = C1-10 alkyl); A = C1-3 alkylene which may be substituted with C1-3 alkyl], their salts, solvates, or hydrates as active ingredients. The inhibitors are useful for prophylaxis and therapy of myocardial infarction, cerebral infarction, chronic arterial stenosis, etc. (2S,3S)-2-acetoxy-3-[(S)-4-methyl-2-(2,4,6-trimethylphenylsulfonylamino)valerylamino]tetrahydrofuran (II) at 10 .mu.M showed 75% inhibition against platelet aggregation. LD50 of II was >2000

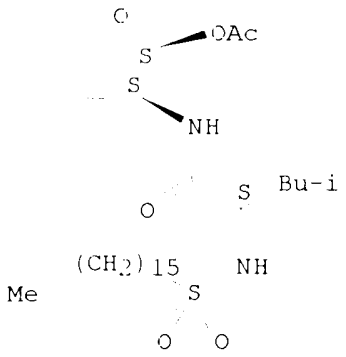
mg/kg p.o. in rats. Pharmaceutical formulations of I were also given.
IC ICM A61K031-34
ICS A61K031-335; A61K031-35; C07D305-08; C07D309-14; C07D307-22
CC 1-8 (Pharmacology)
Section cross-reference(s): 27, **63**
IT 167765-43-7P 201155-13-7P 201155-17-1P 201155-19-3P 201155-21-7P
201155-23-9P 201155-25-1P 201155-28-4P 201155-29-5P 201155-30-8P
201155-31-9P **201155-32-0P** 201155-33-1P 201155-34-2P
201155-35-3P 201155-36-4P 201155-37-5P 201155-39-7P 201155-40-0P
201155-41-1P 201155-42-2P **201155-44-4P** 201155-45-5P
201155-46-6P 201155-47-7P 201155-48-8P 201155-49-9P 201155-50-2P
201155-51-3P 201155-52-4P 201155-53-5P 201155-54-6P 201155-55-7P
201155-56-8P **201155-57-9P 201155-58-0P**
201155-59-1P 201155-60-4P 201155-67-1P 201157-12-2P
201157-68-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of O-heterocycle derivs. as platelet aggregation inhibitors)
IT **201155-32-0P 201155-44-4P 201155-57-9P**
201155-58-0P 201155-59-1P 201155-60-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of O-heterocycle derivs. as platelet aggregation inhibitors)
RN 201155-32-0 HCAPLUS
CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



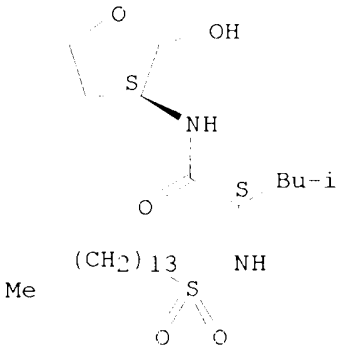
RN 201155-44-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



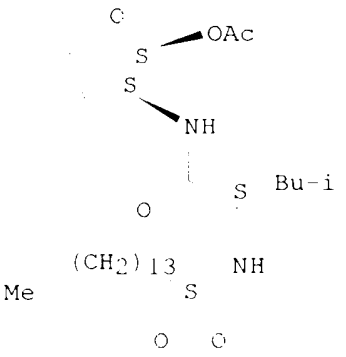
RN 201155-57-9 HCAPLUS
CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



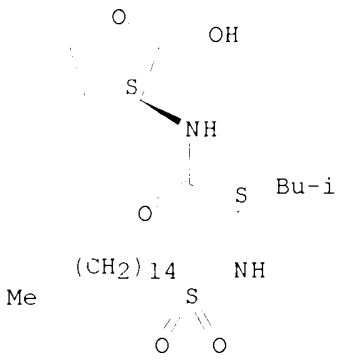
RN 201155-58-0 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



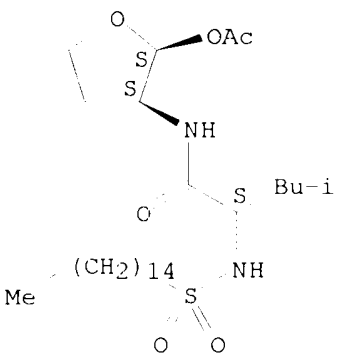
RN 201155-59-1 HCAPLUS
CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-60-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:65808 HCAPLUS
DOCUMENT NUMBER: 128:102004
TITLE: Preparation of hydroxytetrahydrofuran derivatives as remedies for ischemic diseases
INVENTOR(S): Yoshii, Narihiko; Saito, Ken-ichi; Kawasumi, Hisashi; Anabuki, Jun; Ando, Ryoichi
PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan; Yoshii, Narihiko; Saito, Ken-Ichi; Kawasumi, Hisashi; Anabuki, Jun; Ando, Ryoichi
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

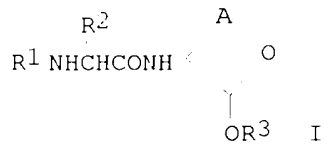
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801130	A1	19980115	WO 1997-JP2378	19970709
W: US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 10101558	A2	19980421	JP 1997-179756	19970704
EP 925786	A1	19990630	EP 1997-930735	19970709
R: DE, ES, FR, GB, IT				

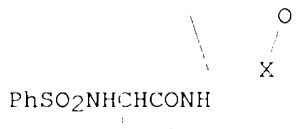
PRIORITY APPLN. INFO.: JP 1996-180783 19960710
JP 1996-207011 19960806
WO 1997-JP2378 19970709

OTHER SOURCE(S): MARPAT 128:102004

GI



I



II

AB The title compds. [I; R1 = R4CO, R4OCO, R4SO2, etc.; R2 = alkyl; R3 = H, acyl; R4 = (un)substituted C1-20 alkyl or C6-14 aryl, etc.; A = alkylene] are prepd. I are efficacious in treating ischemic diseases, for example, ischemic brain diseases, cerebral stroke, cerebral thrombosis, cerebral embolism and myocardial infarction. Thus, compd. (II; X = CO) (prepn. given) was reduced by (Me2CHCH2)2AlH to give 46% the title compd. II (X = CHOH), which showed IC50 of 0.62 .mu.M against calpain.

IC ICM A61K031-335
ICS A61K031-34; A61K031-35; C07D305-08; C07D307-22; C07D309-14

CC 27-6 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, **63**

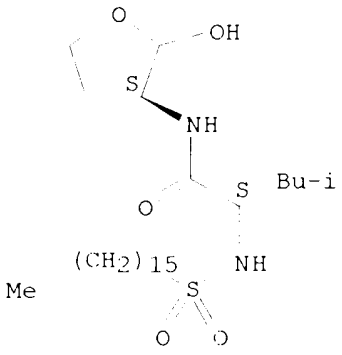
IT 167765-43-7P 201155-13-7P 201155-17-1P 201155-19-3P 201155-21-7P
201155-23-9P 201155-25-1P 201155-28-4P 201155-29-5P 201155-30-8P
201155-31-9P **201155-32-0P** 201155-33-1P 201155-34-2P
201155-35-3P 201155-36-4P 201155-37-5P 201155-38-6P 201155-39-7P
201155-41-1P 201155-42-2P **201155-44-4P** 201155-45-5P
201155-46-6P 201155-47-7P 201155-48-8P 201155-49-9P 201155-50-2P
201155-51-3P 201155-53-5P 201155-54-6P 201155-55-7P

201155-57-9P 201155-58-0P 201155-59-1P
201155-60-4P 201155-67-1P 201157-09-7P 201157-10-0P
201157-11-1P 201157-12-2P 201157-68-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxytetrahydrofuran derivs. as remedies for ischemic diseases)

IT **201155-32-0P 201155-44-4P 201155-57-9P**
201155-58-0P 201155-59-1P 201155-60-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxytetrahydrofuran derivs. as remedies for ischemic diseases)

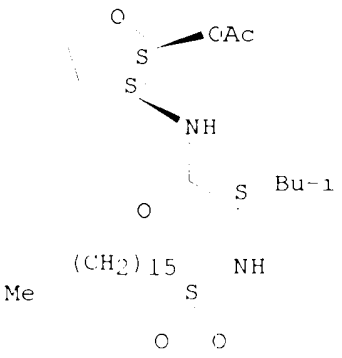
RN 201155-32-0 HCAPLUS
CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-44-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

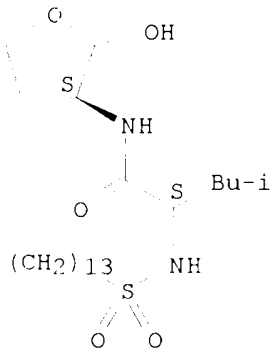
Absolute stereochemistry.



RN 201155-57-9 HCAPLUS

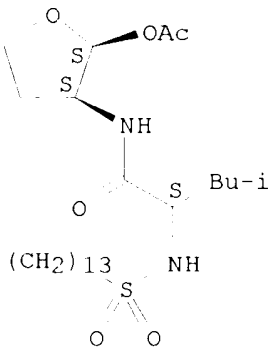
CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



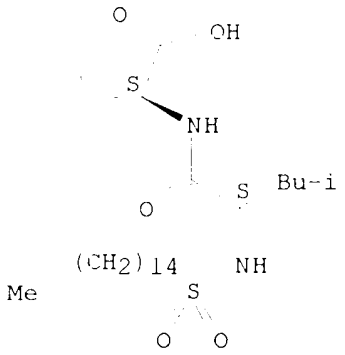
RN 201155-58-0 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



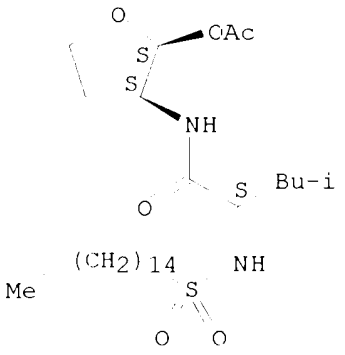
RN 201155-59-1 HCAPLUS
CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-60-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:65807 HCAPLUS
DOCUMENT NUMBER: 128:102386
TITLE: Preparation and formulation of amino acid derivatives for the prevention and treatment of neurodegenerative diseases
INVENTOR(S): Yoshii, Narihiko; Saito, Ken-ichi; Ando, Ryoichi
PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan; Yoshii, Narihiko; Saito, Ken-Ichi; Ando, Ryoichi
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

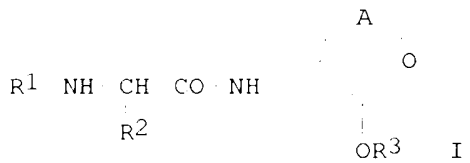
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801129	A1	19980115	WO 1997-JP2377	19970709
W: US				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 10101560	A2	19980421	JP 1997-179757	19970704
PRIORITY APPLN. INFO.:			JP 1996-180784	19960710
			JP 1996-200757	19960730
			JP 1996-200758	19960730
			JP 1996-207012	19960806

OTHER SOURCE(S): MARPAT 128:102386

GI



I

AB The title compds. I [R1 represents R4CO, etc.; R4 represents alkyl, aryl or cycloalkyl; R2 represents alkyl; R3 represents hydrogen or acyl; and A represents alkylene] are prepd. These drugs are efficacious in preventing or treating neurodegenerative diseases, for example, Alzheimer's disease, diseases caused by demyelination in nerve cells, such as multiple sclerosis and neuropathy, and disorders accompanying cephalic traumas, such as consciousness disorder and motility disorder. (3S)-3-((S)-4-Methyl-2-phenylsulfonylaminovalerylamino)-2-tetrahydrofuranol in vitro showed IC50 of 0.62 .mu.M against calpain.

IC ICM A61K031-335
ICS A61K031-34; A61K031-35; C07D305-08; C07D307-22; C07D309-14

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, **63**

IT 167765-43-7P 201155-13-7P 201155-15-9P 201155-17-1P 201155-19-3P
201155-21-7P 201155-23-9P 201155-25-1P 201155-28-4P 201155-29-5P
201155-30-8P 201155-31-9P **201155-32-0P** 201155-33-1P
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201155-39-7P 201155-40-0P 201155-41-1P 201155-42-2P 201155-43-3P
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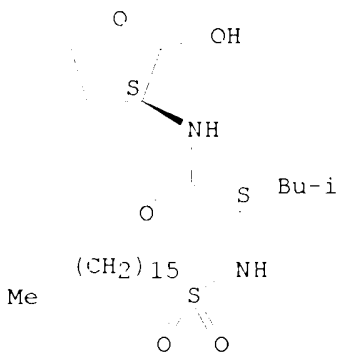
201155-53-5P 201155-54-6P 201155-55-7P 201155-56-8P
201155-57-9P 201155-58-0P 201155-59-1P
201155-60-4P 201155-67-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. for prevention and treatment of neurodegenerative diseases)

IT **201155-32-0P 201155-44-4P 201155-57-9P**
201155-58-0P 201155-59-1P 201155-60-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. for prevention and treatment of neurodegenerative diseases)

RN 201155-32-0 HCAPLUS

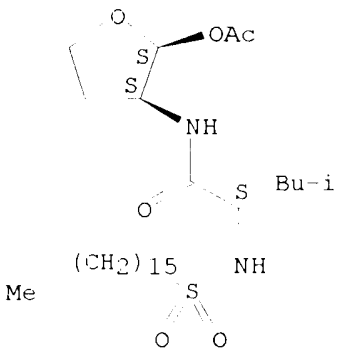
CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



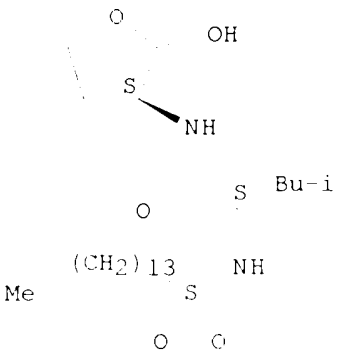
RN 201155-44-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



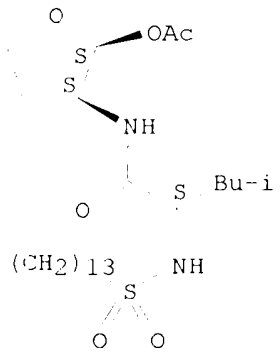
RN 201155-57-9 HCAPLUS
CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



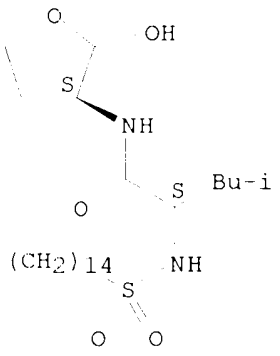
RN 201155-58-0 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



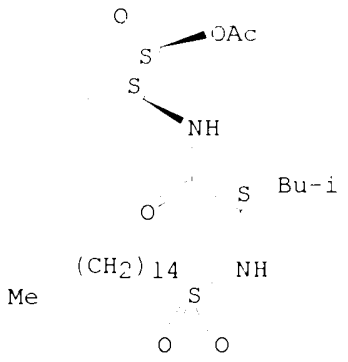
RN 201155-59-1 HCAPLUS
CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-60-4 HCAPLUS
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

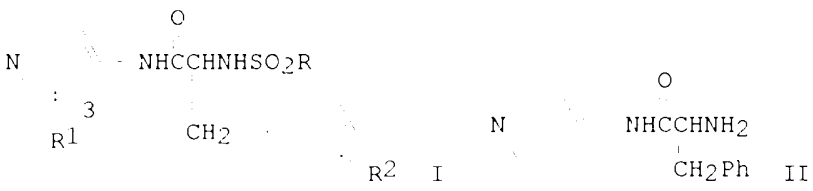
Absolute stereochemistry.



L9 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:134290 HCAPLUS
DOCUMENT NUMBER: 120:134290
TITLE: Preparation of .alpha.-(sulfonylamino)-N-(4-pyridyl)benzenepropanamides and their pharmaceutical formulations as analgesics
INVENTOR(S): Bru-Magniez, Nicole; Sartori, Eric; Teulon, Jean Marle
PATENT ASSIGNEE(S): Laboratories Upsa, Fr.
SOURCE: Fr. Demande, 28 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2683817	A1	19930521	FR 1991-14187	19911118
FR 2683817	B1	19940325		

PRIORITY APPLN. INFO.: FR 1991-14187 19911118
OTHER SOURCE(S): MARPAT 120:134290
GI

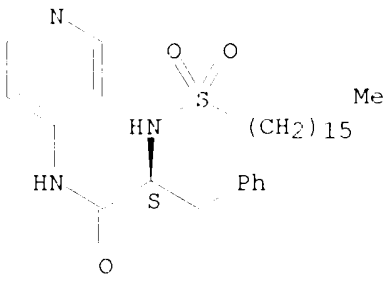


AB Title compds. racemic or (R)- or (S)-I [R = C1-18 alkyl, C3-7 cycloalkyl, haloalkyl, AR' (A = bond or C1-6 (un)satd. aliph. chain, R' = (substituted) Ph or naphthyl, (substituted) heteroaryl of 5-7 atoms contg. 1-3 heteroatoms (N, O, or S)); R1 = H, lower alkyl; R2 = H, halo] are prepd. Thus, sulfonylation of .alpha.-amino deriv. (S)-II (prepn. given) with MeSO2Cl in THF with added K2CO3 afforded (S)-I (R1 = R2 = H, R = Me). Compds. I are useful as analgesics. Thus, compd. (S)-I (R1 = 3-Me, R2 =

H, R = Me) was effective in inhibition of the torsion and stretching movement induced by phenylbenzoquinone in mice (ID50 = 2.8 mg kg-1). Pharmaceutical formulations of compds. I are claimed.

IC ICM C07D213-06
ICS C07D401-12; A61K031-44
ICI C07D401-12, C07D213-06, C07D333-34
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, **63**
IT 152490-20-5P 152490-21-6P 152490-22-7P 152490-23-8P 152490-24-9P
152490-25-0P 152490-26-1P **152490-27-2P** 152490-28-3P
152490-29-4P 152490-30-7P 152490-31-8P 152490-32-9P 152490-33-0P
152490-34-1P 152490-35-2P 152490-36-3P 152490-37-4P 152490-38-5P
152490-39-6P 152490-40-9P 152490-41-0P 152490-42-1P 152490-43-2P
152490-44-3P 152490-45-4P 152490-46-5P 152490-47-6P 152490-48-7P
152490-49-8P 152611-64-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as analgesic)
IT **152490-27-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as analgesic)
RN 152490-27-2 HCAPLUS
CN Benzenepropanamide, .alpha.-[(hexadecylsulfonyl)amino]-N-4-pyridinyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

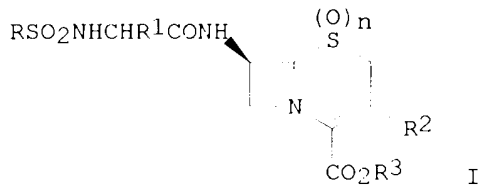


L9 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:209513 HCAPLUS
DOCUMENT NUMBER: 100:209513
TITLE: Cephalosporin derivatives and their pharmaceutical compositions
INVENTOR(S): Kocsis, Karoly; Wiederkehr, Rene; Wehrli, Hansuli
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Eur. Pat. Appl., 287 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

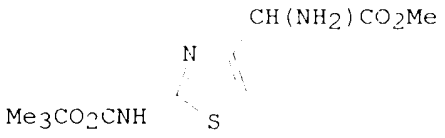
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 92830	A2	19831102	EP 1983-104037	19830425
EP 92830	A3	19841227		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
FI 8301381	A	19831028	FI 1983-1381	19830422
GB 2118942	A1	19831109	GB 1983-11222	19830425
GB 2118942	B2	19850724		
ES 521824	A1	19850501	ES 1983-521824	19830425
DK 8301853	A	19831028	DK 1983-1853	19830426
NO 8301470	A	19831028	NO 1983-1470	19830426
AU 8313951	A1	19831103	AU 1983-13951	19830426
HU 28778	O	19831228	HU 1983-1436	19830426
HU 188459	B	19860428		
DD 207720	A5	19840314	DD 1983-250223	19830426
ZA 8302918	A	19840829	ZA 1983-2918	19830426
JP 58194891	A2	19831112	JP 1983-73135	19830427
ES 535195	A1	19850801	ES 1984-535195	19840816
PRIORITY APPLN. INFO.:			CH 1982-2568	19820427
			CH 1982-6504	19821109

GI



I



III

AB Cephalosporins I [R = C-bonded org.; R1 = heterocyclic; R2 = H, (un)substituted alkyl, alkoxy, halogen; R3 = H, protective group; n = 0-2] were prepd. Thus (2S)-I (R = Me, R1 = 2-amino-4-thiazolyl, R2 = H, R3 = Na, II) was prepd. from thiazolylacetate III and benzhydryl 7-amino-3-cephem-4-carboxylate in 4 steps. II had a min. inhibitory concn. against Escherichia coli 205 of 0.02 .mu.g/mL.

IC C07D501-20; A61K031-545; C07D277-48; C07D417-10; C07D285-08

CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, **63**

ST sulfonylaminoacetamidocephem prepn **bactericide**; cephem sulfonylaminoacetamido

IT **Bactericides**, Disinfectants, and Antiseptics
((sulfonylaminoacetamido)cephems)

IT

89336-05-0P	89336-10-7P	89336-12-9P	89336-14-1P	89336-18-5P
89336-19-6P	89336-22-1P	89336-26-5P	89336-27-6P	89336-29-8P
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89395-95-9P 89396-08-7P 89396-09-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **bactericidal** activity of)

IT 89335-72-8P 89335-86-4P 89335-88-6P 89335-94-4P 89335-97-7P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

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89350-79-8P 89350-80-1P 89350-81-2P 89350-82-3P 89350-83-4P
89350-84-5P 89350-85-6P 89351-27-9P **89351-29-1P**
89365-55-9P 89395-57-3P 89395-61-9P 89395-63-1P 89395-97-1P
89395-99-3P 89396-02-1P 89396-03-2P 89396-05-4P 89396-07-6P
89396-43-0P 89453-47-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT 89348-01-6P 89348-33-4P 89348-37-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., esterification, and **bactericidal** activity of)

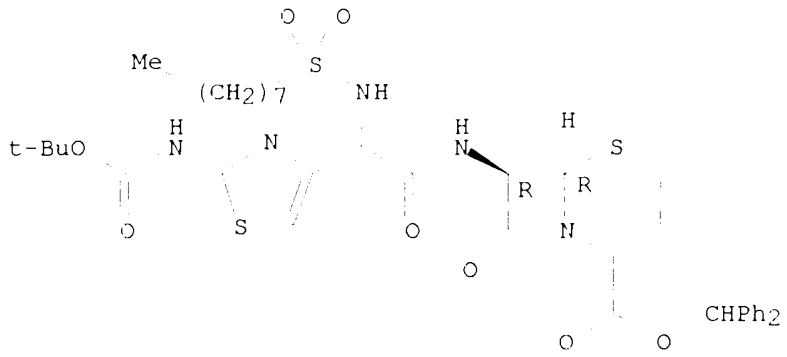
IT 89347-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., reaction with heterocyclic thiols, and **bactericidal** activity of)

IT **89347-70-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 89347-70-6 HCAPLUS

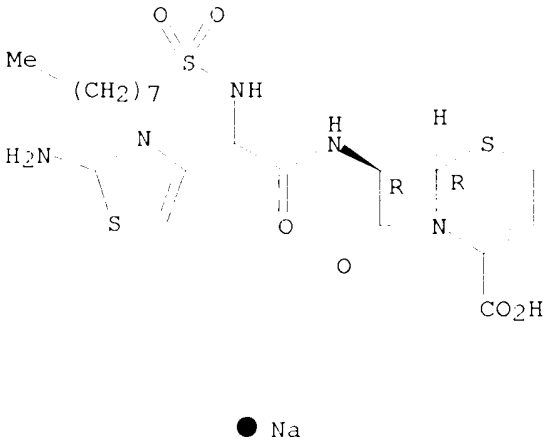
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-thiazolyl][(octylsulfonyl)amino]acetyl]amino]-8-oxo-, diphenylmethyl ester, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **89351-29-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 89351-29-1 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2-amino-4-thiazolyl)[(octylsulfonyl)amino]acetyl]amino]-8-oxo-,
monosodium salt, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L9 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:4797 HCAPLUS
DOCUMENT NUMBER: 98:4797
TITLE: Polypeptides and their use as drugs
INVENTOR(S): Bauer, Wilfried; Pless, Janos
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
SOURCE: Belg., 27 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 892315	A1	19820901	BE 1982-10440	19820301
CH 647246	A	19850115	CH 1981-1531	19810306
DK 8200810	A	19820907	DK 1982-810	19820224
FI 8200689	A	19820907	FI 1982-689	19820226
FR 2501199	A1	19820910	FR 1982-3475	19820301
FR 2501199	B1	19860221		
DE 3207311	A1	19821202	DE 1982-3207311	19820301
GB 2095261	A	19820929	GB 1982-6136	19820302
GB 2095261	B2	19840815		
NL 8200828	A	19821001	NL 1982-828	19820302
US 4435385	A	19840306	US 1982-353900	19820302
SE 8201339	A	19820907	SE 1982-1339	19820304
CA 1188682	A1	19850611	CA 1982-397561	19820304
IL 65167	A1	19850630	IL 1982-65167	19820304
AU 8281164	A1	19820909	AU 1982-81164	19820305
JP 57158745	A2	19820930	JP 1982-35698	19820305
JP 03063559	B4	19911001		
ES 510167	A1	19831016	ES 1982-510167	19820305
ZA 8201491	A	19831026	ZA 1982-1491	19820305
HU 28423	O	19831228	HU 1982-690	19820305
ES 522916	A1	19850301	ES 1983-522916	19830601

PRIORITY APPLN. INFO.: CH 1981-1531 19810306
CH 1981-5723 19810904

GI For diagram(s), see printed CA Issue.

AB Peptides RR1NCHR2CONHCH(CH2SR4)CO-Phe-Trp-Lys-X-NHCHR3CH2SR5 [R = inorg. or org. acyl group, R1 = H, alkyl, NCHR2CO moiety = L- or D-Phe (optionally ring substituted by halo, NO2, OH, alkyl, alkoxy); Phe, Trp (D or L) may be ring substituted by NO2, NH2, OH, alkyl, alkoxy; Lys may be .alpha.-N-methylated and .epsilon.-N-alkylated; X = D- or L-.alpha.-amino acid residue optionally .alpha.-N-methylated; R3 = CO2H, CH2OH, carbamoyl, P4 = R5 = H, R4R5 = bond] were prepd. and they control the secretion of somatotropin and inhibit gastric and pancreatic secretion (no data). I was prepd. by deprotection-oxidn. of Me(CH2)8CO-D-Phe-Cys(MBzl)-Phe-D-Trp-Lys(Z)-Thr-Cys(MBzl)-Thr-ol (MBzl = p-MeOC6H4CH2, Z = PhCH2O2C), which was prepd. by peptide coupling in soln.

ICI A61

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): **63**

IT 83795-60-2P 83795-62-4P 83795-64-6P 83795-66-8P 83795-68-0P
83795-70-4P 83795-72-6P 83795-74-8P 83795-76-0P 83795-78-2P
83795-80-6P 83795-82-8P **83795-84-0P** 83795-86-2P
83795-88-4P 83795-90-8P 83795-92-0P 83795-98-6P 83805-40-7P
83805-42-9P
FL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

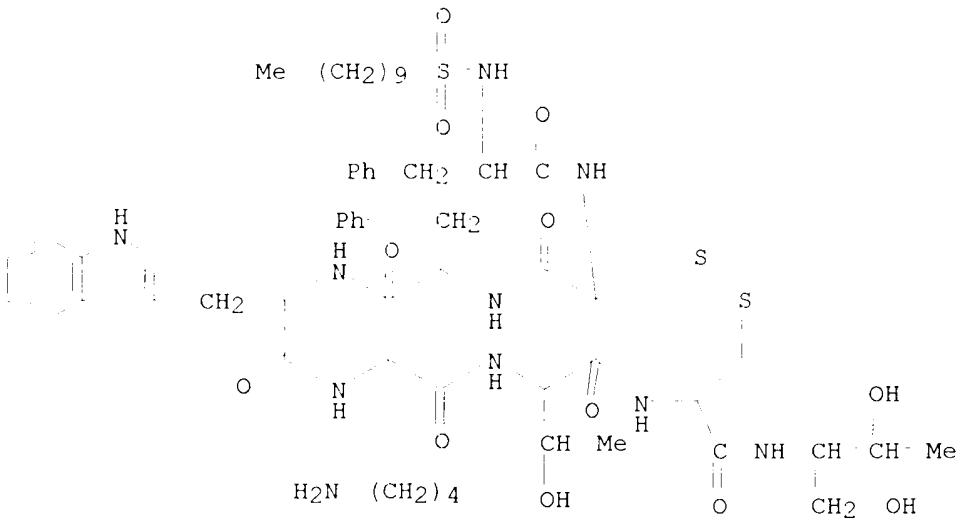
IT **83795-84-0P**
FL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 83795-84-0 HCAPLUS

CN L-Cysteinamide, N-(decylsulfonyl)-D-phenylalanyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-N-[2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (2.fwdarw.7)-disulfide, [R-(R*,R*)]-, acetate (salt) (9CI) (CA INDEX NAME)

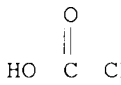
CM 1

CRN 83795-83-9
CMF C59 H86 N10 O12 S3



CM 2

CRN 64-19-7
CMF C2 H4 O2



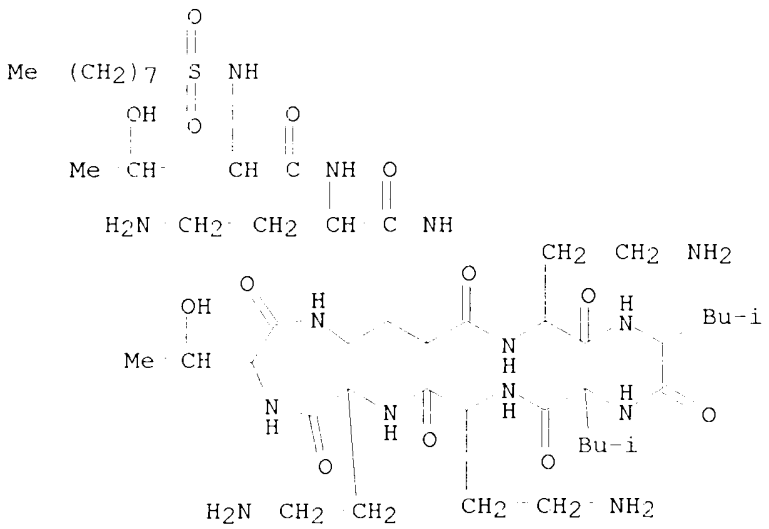
L9 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:148248 HCAPLUS
DOCUMENT NUMBER: 78:148248
TITLE: Colistin nonapeptide sulfonic acid derivatives
INVENTOR(S): Chihara, Shiro; Ito, Akira; Yahata, Masahiro; Tobita, Takashi
PATENT ASSIGNEE(S): Kayaku Antibiotics Research Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 47051357	B4	19721223	JP 1970-127602	19701228

AB The title derivs. (I, Dab = .alpha.,.gamma.-diaminobutyric acid) were manufd. by treating colistin nonapeptide (II) with the corresponding sulfonyl chlorides at pH 4-7. I have antibacterial activity. Thus, 220 mg II was reacted in 100 ml 0.2M H3PO4 buffer with 1.6 g CH3(CH2)7SO2Cl

for 7 hr at 40.degree. to give 80 mg I (R = CH3 (CH27). I (R = .beta.-naphthyl, p-EtC6H5,Ph, p-NO2-C6H5 and PhCH2) were similarly manufd.

IC C07C; A61K
CC 34-3 (Synthesis of Amino Acids, Peptides, and Proteins)
ST colistin nonapeptide sulfonate derivs; **bactericide** colistin deriv; peptide colistin deriv
IT **40944-58-9P** 40944-59-0P 40944-60-3P 40944-61-4P 40944-62-5P 40944-63-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT **40944-58-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 40944-58-9 HCAPLUS
CN Polymyxin E1, 1-de[N2-(6-methyl-1-oxooctyl)-L-2,4-diaminobutanoic acid]-2-[N-(octylsulfonyl)-L-threonine]- (9CI) (CA INDEX NAME)



L9 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:552576 HCAPLUS
DOCUMENT NUMBER: 77:152576
TITLE: **Antibacterial** polymyxin derivatives
INVENTOR(S): Bouchaudon, Jean; Jolles, Georges
PATENT ASSIGNEE(S): Rhone-Poulenc S. A.
SOURCE: Ger. Offen., 47 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2204887	A	19720921	DE 1972-2204887	19720202
FR 2124060	A5	19720922	FR 1971-3429	19710202
FR 2124060	B1	19740412		

NL 7200997	A	19720804	NL 1972-997	19720125
US 3817973	A	19740618	US 1972-222296	19720131
BE 778796	A1	19720801	BE 1972-113486	19720201
CH 542820	A	19731130	CH 1972-1430	19720201
GB 1378025	A	19741218	GB 1972-4730	19720201
CA 981661	A1	19760113	CA 1972-133715	19720201
JP 54000919	B4	19790118	JP 1972-11455	19720202

PRIORITY APPLN. INFO.: FR 1971-3429 19710202

GI For diagram(s), see printed CA Issue.

AB Sixteen polymyxin derivs. (I; R = Me2CH(CH2)5CO, n-C7H15CO, etc.; Dab = L-.alpha., .gamma.-diaminobutyryl), useful as antibiotics, were prepd. by coupling the azide of the corresponding R-Dab(Cbz)-Thr-Dab(Cbz)-NHNH2 (II) to blocked colistamine III (R1 = N-oxo-3-pyridylmethyloxycarbonyl), followed by catalytic hydrogenation. BOC-Dab(Cbz)-OH was attached to a resin and then coupled sequentially with BOC-Thr-OH, BOC-Dab(Cbz)-OH, and ROH using dicyclo-hexylcarbodiimide to give the peptide-resin, which was treated with N2H4 to yield II. BOC groups were cleaved after each coupling step by HCl-HOAc. 3-Hydroxymethylpyridine (IV) reacted with p-O2NC6H4CO2OH to give IV N-oxide, which was treated with p-O2NC6H4O2CCl to give p-O2NC6H4OR1. The latter reacted with colistin to give penta-N-[N-oxo-3-pyridylmethyl-oxycarbonyl]colistin, which was treated with the proteinase from Bacillus subtilis to give III.

IC C07C; A61K

CC 34-3 (Synthesis of Amino Acids, Peptides, and Proteins)

ST polymyxin peptide **antibiotics**; colistin peptide

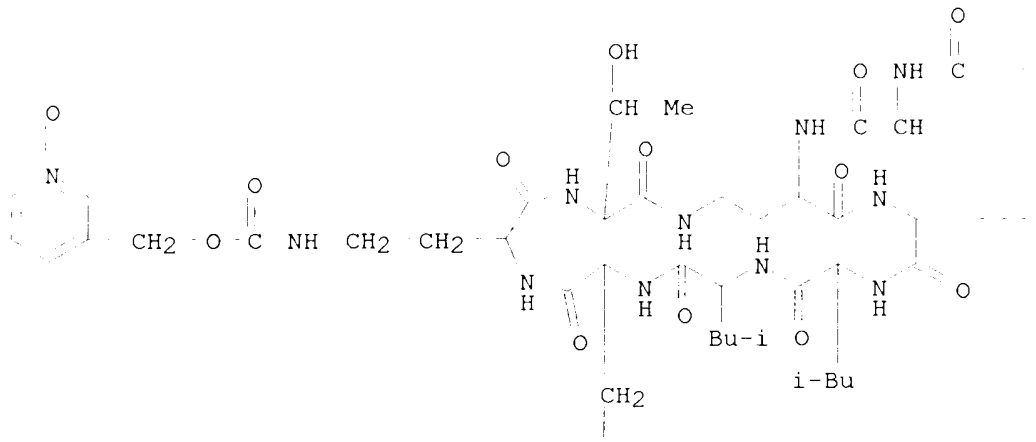
IT 6968-72-5P 11074-97-8P 32919-27-0P 32939-32-5P 32991-08-5P
34233-38-0P 34233-39-1P 38486-64-5P 38486-65-6P 38486-66-7P
38486-67-8P 38486-68-9P 38486-69-0P 38486-70-3P 38486-71-4P
38486-72-5P 38486-73-6P 38486-74-7P 38486-75-8P 38486-76-9P
38486-82-7P 38486-83-8P 38486-84-9P 38486-85-0P 38486-86-1P
38486-87-2P 38486-88-3P 38486-89-4P 38486-90-7P 38486-91-8P
38486-92-9P 38486-93-0P 38486-94-1P 38486-95-2P 38495-39-5P
38495-40-8P 38495-41-9P 38495-42-0P **38495-43-1P**
38495-44-2P 38541-76-3P 38541-77-4P **38543-33-8P**
38543-34-9P 38543-35-0P 38543-36-1P 38543-37-2P 38543-38-3P
38598-91-3P 38855-35-5P 38855-36-6P 38855-37-7P 39022-86-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT **38495-43-1P 38495-44-2P 38543-33-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

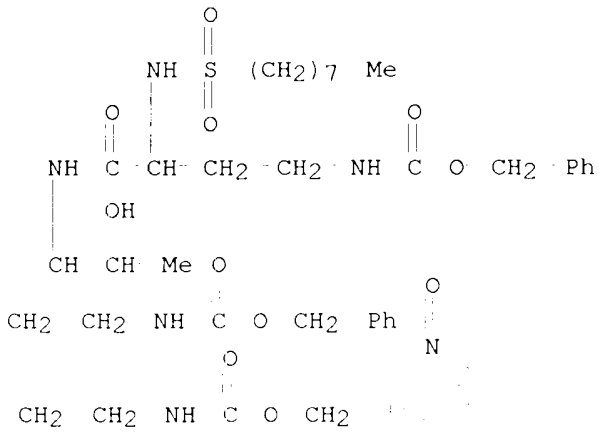
RN 38495-43-1 HCAPLUS

CN L-Threonine, N2-(octylsulfonyl)-N4-[(phenylmethoxy)carbonyl]-L-2,4-diaminobutanoyl-L-threonyl-N4-[(phenylmethoxy)carbonyl]-L-2,4-diaminobutanoyl-L-2,4-diaminobutanoyl-N4-[[(1-oxido-3-pyridinyl)methoxy]carbonyl]-L-2,4-diaminobutanoyl-D-leucyl-L-leucyl-N4-[[(1-oxido-3-pyridinyl)methoxy]carbonyl]-L-2,4-diaminobutanoyl-N4-[[(1-oxido-3-pyridinyl)methoxy]carbonyl]-L-2,4-diaminobutanoyl-, cyclic (10.fwdarw.4)-peptide (9CI) (CA INDEX NAME)

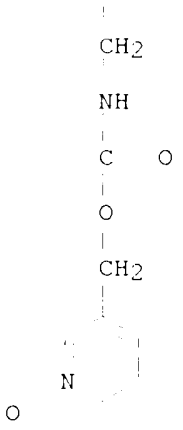
PAGE 1-A



PAGE 1-B

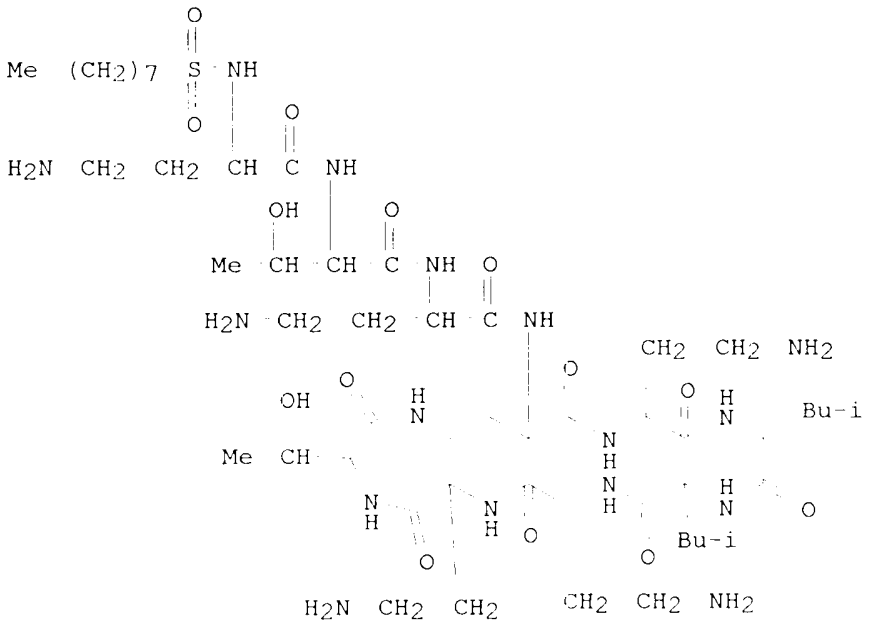


PAGE 2-A



RN 38495-44-2 HCAPLUS
CN Polymyxin E1, 1-[N2-(octylsulfonyl)-L-2,4-diaminobutanoic acid]-, pentahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

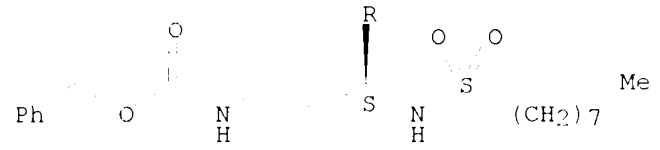


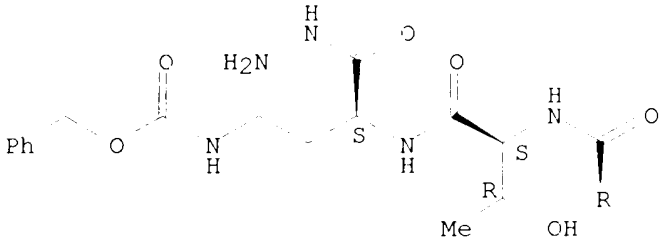
PAGE 2-A

● 5 HCl

RN 38543-33-8 HCAPLUS
CN Butanoic acid, N2-(octylsulfonyl)-N4-[(phenylmethoxy)carbonyl]-L-2,4-diaminobutanoyl-L-threonyl-N4-[(phenylmethoxy)carbonyl]-L-2,4-diamino-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L10 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:240062 HCAPLUS
DOCUMENT NUMBER: 136:395375
TITLE: In vitro antiplasmodium effects of dermaseptin S4 derivatives
AUTHOR(S): Dagan, Arie; Efron, Leah; Gaidukov, Leonid; Mor, Amram; Ginsburg, Hagai
CORPORATE SOURCE: Institute of Life Sciences, The Hebrew University of Jerusalem, Jerusalem, 91904, Israel
SOURCE: Antimicrobial Agents and Chemotherapy (2002), 46(4), 1059-1066
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The 13-residue dermaseptin S4 deriv. K4S4(1-13)a (P) was previously shown to kill intraerythrocytic malaria parasites through the lysis of the host cells. In this study, we have sought peptides that will kill the parasite without lysing the erythrocyte. To produce such peptides, 26 compds. of variable structure and size were attached to the N terminus of P and screened for antiplasmodium and hemolytic activities in cultures of Plasmodium falciparum. Results from this screen indicated that increased hydrophobicity results in amplified antiplasmodium effect, irresp. of the linearity or bulkiness of the additive. However, increased hydrophobicity also was generally assocd. with increased hemolysis, with the exception of two derivs.: propionyl-P (C3-P) and isobutyryl-P (iC4-P). Both acyl-peptides were more effective than P, with 50% growth inhibition at 3.9, 4.3, and 7.7 .mu.M, resp. The antiparasitic effect was time dependent and totally irreversible, implying a cytotoxic effect. The

peptides were also investigated in parallel for their ability to inhibit parasite growth and to induce hemolysis in infected and uninfected erythrocytes. Whereas the dose dependence of growth inhibition and hemolysis of infected cells overlapped when cells were treated with P, the acyl-peptides exerted 50% growth inhibition at concns. that did not cause hemolysis. Noticeably, the acyl derivs., but not P, were able to dissipate the parasite plasma membrane potential and cause depletion of intraparasite potassium under nonhemolytic conditions. These results clearly demonstrate that the acyl-peptides can affect parasite viability in a manner that is dissocd. from lysis of the host cell. Overall, the data indicate the potential usefulness of this strategy for development of selective peptides as investigative tools and eventually as antimalarial agents.

CC 1-5 (Pharmacology)

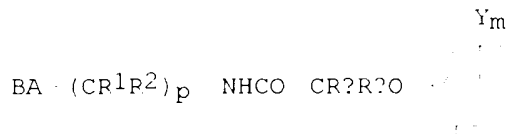
IT 428873-13-5P 428873-14-7P 428873-16-9P 428873-17-0P 428873-18-1P
428873-19-2P **428873-20-5P** 428873-21-6P 428873-22-7P
428873-23-8P 428873-24-9P 428873-25-0P 428873-26-1P 428873-27-2P
428873-28-3P 428873-29-4P 428873-30-7P 428873-31-8P 428873-32-9P
428873-33-0P 428873-34-1P 428873-35-2P 428873-36-3P 428873-37-4P
428873-38-5P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(in vitro antiplasmodium effects of dermaseptin S4 derivs.)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:719012 HCAPLUS
DOCUMENT NUMBER: 135:280431
TITLE: Photographic element and compound and process useful therewith
INVENTOR(S): Romanet, Robert F.; Vreeland, William B.; Harder, John W.; Brown, Christopher T.; Conley, Scott R.; Youngblood, Michael P.
PATENT ASSIGNEE(S): Eastman Kodak Company, USA
SOURCE: U.S., 52 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6296997	B1	20011002	US 2000-707586	20001107
EP 1205796	A2	20020515	EP 2001-204126	20011029
EP 1205796	A3	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002162718	A2	20020607	JP 2001-342355	20011107

PRIORITY APPLN. INFO.: US 2000-707586 A 20001107
OTHER SOURCE(S): MARPAT 135:280431
GI



AB The invention describes a silver halide photog. element contg. a dye-forming bicyclic azole coupler having a phenoxy substituent contg. an ortho substituent for better color rendition. The photog. element comprises a light-sensitive Ag halide emulsion layer having assocd. therewith a bicyclic azole dye-forming coupler compd. (I) where BA = a bicyclic azole coupler nucleus with -(C(R1)(R2))P- bonded to a ring C in a non-coupling position of the coupler nucleus; p is 1 or 2, and each R1 and R2 is independently selected from H and a substituent group, provided that any 2 of R1 and R2 may join to form a ring; Ra and Rb are each independently selected from H and a substituent group, provided that substituent groups may join to form a ring; each Y is an independently selected substituent and m is 0-4; X is selected from the group consisting of -C(O)-, -S(O)2-, -S(O)-, and -P(O)(OH)-; W is a connecting group having a chain of up to 4 atoms between X and Z, and n = 0 or 1; and(a) when n = 0, Z is -NHR5 where R5 is H or a substituent, and (b) when n = 1, Z is selected from -OH, -SO2NHR5, and -NHR6 where R5 is H or a substituent group and R6 is a substituent bonded to -NH- by an electron withdrawing group in R6; provided that the ClogP value of the coupler compd. is at least 5.0. The element provides improved color rendition.

IC ICM G03C001-08
ICS G03C007-26; G03C007-32

NCL 430558000

CC 74-2 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)

IT **360051-08-7** **363595-58-8** 363595-59-9 363595-60-2
363595-61-3 363595-62-4 363595-63-5 363595-64-6 363595-65-7
363595-66-8 363595-67-9 363595-68-0 363595-69-1 363595-70-4
363595-71-5 363595-72-6 **363595-73-7** 363595-74-8
363595-75-9 363595-76-0 363595-77-1 **363595-78-2**
363595-79-3 **363595-80-6** 363595-81-7 363595-82-8
363595-84-0 363595-86-2 363595-87-3 363595-88-4 363595-89-5
363595-90-8 363595-91-9 363595-92-0 363595-93-1 363595-94-2
363595-95-3
RL: NUU (Other use, unclassified); TEM (Technical or engineered material use); USES (Uses)
(photog. element contg. dye-forming bicyclic azo coupler for better color reprodn.)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

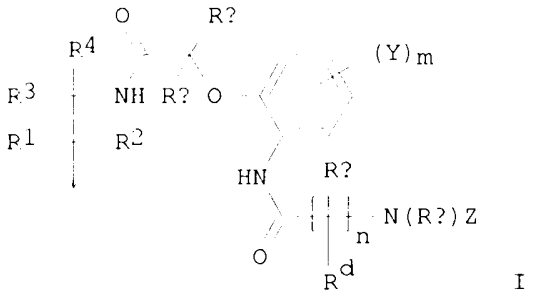
L10 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:687350 HCAPLUS
DOCUMENT NUMBER: 135:249384
TITLE: Photographic element having improved dye stability, compound, and imaging process
INVENTOR(S): Burns, Paul A.; Romanet, Robert F.; Fischer, Susan M.; Lincoln, David G.; Spara, Paul P.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6291152	B1	20010918	US 2000-707636	20001107
EP 1205795	A1	20020515	EP 2001-204100	20011026

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2000-707636 A 20001107
OTHER SOURCE(S): MARPAT 135:249384
GI



I

AB Disclosed is a photog. element comprising a light-sensitive silver halide emulsion layer having assocd. therewith a bicyclic azole dye-forming coupler, having appended to a ring carbon at a non-coupling position thereof a substituent group represented by the formula I (arrow represents point of attachment of substituent group to non-coupling position of coupler; R1, R2, R3, R4 = H, substituent; any two of R1, R2, R3 and R4 may join to form ring; Ra, Rb = H, substituent; Rc, Rd = H, alkyl, aryl; any two of Rc and Rd may join to form ring; n = 1-10; Re = H, alkyl, aryl; Y = substituent; m = 0-4; Z = -C(O)R5, -S(O)2R5, -SOR5, -P(:O)(R6)2, -P(O)(OR6)2; R5 = alkyl, aryl, heterocyclic, alkoxy, aryloxy, alkylamino, arylamino; R6 = alkyl, aryl; Z can form ring with any one of Rc and Rd). Such an element provides improved image dye stability.

IC ICM G03C001-08
ICS G03C007-26; G03C007-32
NCL 430558000
CC 74-2 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)
IT 360051-04-3 360051-06-5 **360051-08-7** 360051-10-1
360051-11-2 360051-12-3 360051-13-4 360051-15-6 360051-16-7
360051-17-8 **360051-18-9** 360051-19-0 360051-21-4
360051-23-6 360051-25-8 **360051-27-0** **360051-28-1**
360051-30-5 **360051-31-6** **360051-32-7**
360051-33-8 360051-35-0 360051-37-2 **360053-23-2**
RL: DEV (Device component use); USES (Uses)
(photog. magenta coupler in photog. element having improved dye stability, compd., and imaging process)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:819353 HCAPLUS
DOCUMENT NUMBER: 132:64534
TITLE: Preparation of cyclic amino acid compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis
INVENTOR(S): Thompson, Richard C.; Wilkie, Stephen; Stack, Douglas R.; Vanmeter, Eldon E.; Shi, Qing; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Henry, Steven S.; Mcdaniel, Stacey L.; Stucky, Russell D.; Porter, Warren J.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company; et al.
SOURCE: PCT Int. Appl., 714 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967221	A1	19991229	WO 1999-US14193	19990622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325389	AA	19991229	CA 1999-2325389	19990622
AU 9947101	A1	20000110	AU 1999-47101	19990622
EP 1089980	A1	20010411	EP 1999-930594	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518483	T2	20020625	JP 2000-555875	19990622

PRIORITY APPLN. INFO.: US 1998-102507 A2 19980622
WO 1999-US14193 W 19990622

OTHER SOURCE(S): MARPAT 132:64534

AB Cyclic compds., e.g., R1R15'NC(Q)NR15(Y)n(CH)pC(X)W [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heterocyclyl, heteroaryl; R15 = H, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclyl; R15' = H, OH, alkyl, substituted alkyl, heterocyclyl, heteroaryl; W together with (CH)pC(X) forms an (un)substituted cycloalkyl or cycloalkenyl, heterocyclyl, which are optionally fused to form a bi- or multi-fused ring systems; X = oxo, thioxo, hydroxyl, thiol, or hydro (H,H); Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; p = 0 or 1], were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, (S)-3-[[N-(2-thiophenecarbonyl)-L-alaninyl]amino] 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepd. via acylation of (S)-3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-

benzodiazepin-2-one with 2-thiophenecarboxylic acid. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control.

IC ICM C07D243-24
ICS A61K031-55; C07D223-18; C07D223-16; C07D409-12; C07D401-04; C07D417-04; C07D409-04; C07D405-12; C07D243-14; C07D243-12; C07D401-14; C07D401-12

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

IT

209985-30-8P	209986-95-8P	209990-32-9P	209994-06-9P	209994-34-3P
213025-91-3P	213025-92-4P	253161-95-4P	253322-42-8P	253322-43-9P
253322-44-0P	253322-45-1P	253322-46-2P	253322-47-3P	253322-48-4P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:590740 HCAPLUS
DOCUMENT NUMBER: 129:225747
TITLE: .alpha.-Aminosulfonyl hydroxamic acids as matrix metalloproteinase inhibitors
INVENTOR(S): Warpehoski, Martha A.; Mitchell, Mark Allen; Jacobsen, Eric Jon
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5804593	A	19980908	US 1997-953940	19971020

PRIORITY APPLN. INFO.: US 1997-953940 19971020
OTHER SOURCE(S): MARPAT 129:225747
AB The present invention relates to therapeutically active .alpha.-aminosulfonyl hydroxamic acids, to pharmaceutical compns. contg. them, and to the method of using such compds. The compds. of the invention are inhibitors of matrix metalloproteinases involved in tissue degrdn., hence are useful for the treatment of osteoarthritis, rheumatoid arthritis, septic arthritis, osteopenia, osteoporosis, tumor metastasis, periodontitis, gingivitis, corneal ulceration, dermal ulceration, or gastric ulceration. N-Hydroxy-2(R)-[(4-methoxybenzenesulfonyl)amino]-3-(3-indolyl)-propanamide (I) was prepd. by 3 steps from reactants, D-tryptophan Me ester hydrochloride, 4-methoxybenzenesulfonyl chloride, and hydroxyamine hydrochloride. I was in vitro tested for inhibitory activities in gelatinase, resulting in Ki (inhibition const.) value of 0.00781 M.
IC ICM A61K031-40
ICS A61K031-19; C07D209-12; C07D209-18
NCL 514419000
CC 1-12 (Pharmacology)
Section cross-reference(s): 25, 27
IT 193807-79-3P 206758-40-9P 206758-41-0P 206758-42-1P 206758-43-2P 206758-44-3P **206758-45-4P** 206758-46-5P 206758-47-6P 213698-20-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-aminosulfonyl hydroxamic acids as matrix metalloproteinase inhibitors)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:487582 HCAPLUS
DOCUMENT NUMBER: 129:260761

TITLE: Structural modification of an orally active thrombin inhibitor, LB30057: replacement of the D-pocket-binding naphthyl moiety

AUTHOR(S): Lee, Koo; Hwang, Sang Yeul; Hong, Seongwon; Hong, Chang Yong; Lee, Chang-Seok; Shin, Youseung; Kim, Sangsoo; Yun, Mikyung; Yoo, Yung Joon; Kang, Myunggyun; Oh, Yeong Soo

CORPORATE SOURCE: Biotech Research Institute, LG Chemical Ltd/Research Park, Taejon, 305-380, S. Korea

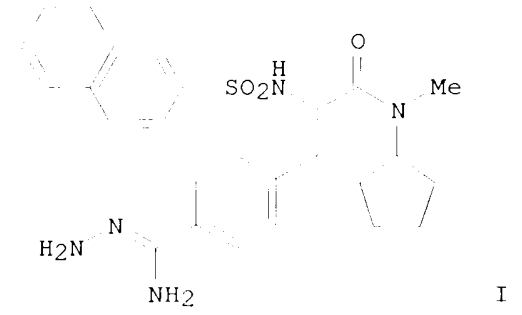
SOURCE: Bioorganic & Medicinal Chemistry (1998), 6(6), 869-876
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

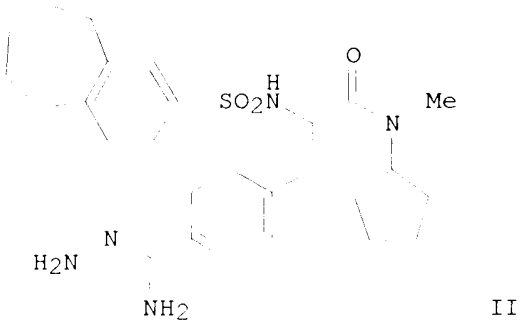
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

AB Amidrazonophenylalanine deriv. LB30057 (I) was identified as a potent (Ki=0.38 nM), selective, and orally active thrombin inhibitor. As a continuation of studies into benzamidrazone-based thrombin inhibitors, we have structurally modified I by replacing the naphthyl group with a variety of hydrophobic moieties. This study led to discovery of several compds. with significantly enhanced potency in thrombin inhibition without sacrificing selectivity against trypsin and oral absorption. The highest activity was obtained with benzocycloheptyl deriv. II (Ki = 0.045 nM).

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

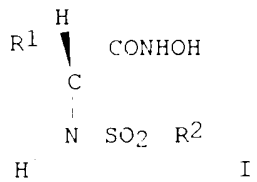
IT 184771-00-4P 184771-01-5P 213179-08-9P 213179-09-0P 213179-10-3P
213179-11-4P 213179-12-5P 213179-13-6P 213179-14-7P 213179-16-9P
213179-18-1P 213179-19-2P 213179-21-6P 213179-24-9P 213179-26-1P

213179-28-3P 213179-30-7P 213179-32-9P 213179-34-1P 213179-36-3P
213179-38-5P 213179-40-9P 213179-41-0P 213179-43-2P 213179-45-4P
213179-47-6P 213179-48-7P **213179-49-8P** 213179-50-1P
213179-51-2P 213179-52-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and thrombin inhibitory structure-activity of (amidrazono)phenylalanine derivs.)
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:268487 HCAPLUS
DOCUMENT NUMBER: 128:321932
TITLE: Preparation of .alpha.-amino sulfonyl hydroxamic acids as matrix metalloproteinase inhibitors
INVENTOR(S): Warpehoski, Martha A.; Mitchell, Mark A.; Jacobsen, E. Jon
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA; Warpehoski, Martha A.; Mitchell, Mark A.; Jacobsen, E. Jon
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817645	A1	19980430	WO 1997-US18235	19971020
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9748126	A1	19980515	AU 1997-48126	19971020
EP 934267	A1	19990811	EP 1997-910851	19971020
EP 934267	B1	20020130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2001503400	T2	20010313	JP 1998-519424	19971020
AT 212619	E	20020215	AT 1997-910851	19971020
ES 2171905	T3	20020916	ES 1997-910851	19971020

PRIORITY APPLN. INFO.: US 1996-29585P P 19961022
WO 1997-US18235 W 19971020
OTHER SOURCE(S): MARPAT 128:321932
GI



AB The title compds. I [R1 is iso-Pr, 2-methylbut-2-yl, Ph, benzyl, or 1H-indol-3ylmethyl; R2 is n-octyl, Ph, or Ph substituted with methoxy, fluoro, or bromo] are prepd. In an in vitro test for inhibition of gelatinase, N-hydroxy-2-(R)-[(benzenesulfonyl)amino]-3-methylbutanamide in vitro showed the Ki value of 0.082 .mu.M.

IC ICM C07D209-20
ICS A61K031-40; C07C311-29; C07C311-19

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

IT 193807-79-3P 206758-40-9P 206758-41-0P 206758-42-1P 206758-43-2P 206758-44-3P **206758-45-4P** 206758-46-5P 206758-47-6P 206758-48-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-amino sulfonyl hydroxamic acids as matrix metalloproteinase inhibitors)

L10 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:102857 HCAPLUS
DOCUMENT NUMBER: 128:167712
TITLE: Preparation of oxygenic heterocyclic derivatives of amino acid amides as cysteine protease inhibitors
INVENTOR(S): Ando, Ryoichi; Masuda, Hirokazu; Aritomo, Keiichi; Yoshii, Narihiko; Saito, Ken-Ichi
PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan; Ando, Ryoichi; Masuda, Hirokazu; Aritomo, Keiichi; Yoshii, Narihiko; Saito, Ken-Ichi
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804539	A1	19980205	WO 1997-JP2598	19970728

W: CA, CN, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: JP 1996-199037 19960729
OTHER SOURCE(S): MARPAT 128:167712
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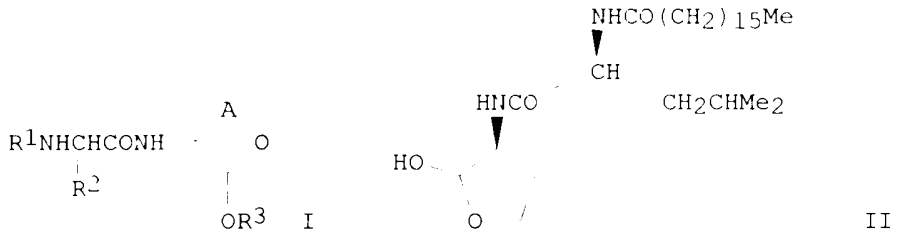

AB Oxygenic heterocyclic derivs. of general formula [I; R1 = R4CO, R4O2C, R4SO2 (R4 = straight-chain C11-20 alkyl); R2 = C1-10 alkyl optionally substituted by C6-14 aryl; R3 = H, R5CO (wherein R5 = C1-10 alkyl); A = C1-3 alkylene optionally substituted by C1-3 alkyl], salts thereof, and solvates or hydrates thereof are prepd. These compds. exhibit a potent inhibitory activity against cysteine proteases such as calpain, papain, cathepsin B, cathepsin H, cathepsin L, calpain, and interleukin 1.beta.-converting enzyme and are excellent in absorbability through oral administration, tissue transportability, and cell membrane permeability and are useful for the treatment of muscular dystrophy, muscular atrophy, myocardial infarction, stroke, Alzheimer's disease, disorders of cognition and motor disorders in head trauma, multiple sclerosis, neuropathy of peripheral nerve, cataract, allergy, hepatitis siderans, osteoporosis, hypercalcemia, breast cancer, prostate cancer, prostatomagaly, inhibitors of cancer proliferation and metastasis, and blood platelet aggregation inhibitors. Thus, (3S)-3-[(S)-2-(tert-butoxycarbonylamino)-4-methylvalerylamino]-2-tetrahydrofuranone was stirred with 4 N HCl in EtOAc at room temp. for 45 min and then acylated by heptadecanoyl chloride in the presence of Et3N in CH2Cl2 at room temp. overnight to give (3S)-3-[(S)-2-(heptadecanoylamino)-4-methylvalerylamino]-2-tetrahydrofuranone, which was reduced by LiAlH4 in THF at -68.degree. for 1 h to give (3S)-[(N-heptadecanoyl-L-leucinyl)amino]-2-tetrahydrofuranol (II; R = heptadecanoyl). The latter compd. and II (R = pentadecylsulfonyl) in vitro showed IC50 of 1.05 and 0.09 .mu.M, resp., against m-calpain.

IC ICM C07D305-08
ICS C07D307-22; C07D309-14; A61K031-335; A61K031-34; A61K031-35

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7

IT 201155-17-1P 201155-28-4P **201155-32-0P** 201155-39-7P
201155-40-0P 201155-41-1P **201155-44-4P** 201155-51-3P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxygenic heterocyclic derivs. of amino acid amides as cysteine protease inhibitors for treatment of diseases)

L10 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:513624 HCAPLUS
DOCUMENT NUMBER: 127:162119
TITLE: Preparation of N-sulfonylamino acid derivatives as metalloproteinase inhibitors

INVENTOR(S): Watanabe, Fumihiko; Tsuzuki, Hiroshige; Ohtani, Mitsuaki
PATENT ASSIGNEE(S): Shionogi and vCo., Ltd., Japan; Watanabe, Fumihiko; Tsuzuki, Hiroshige; Ohtani, Mitsuaki
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727174	A1	19970731	WO 1997-JP126	19970122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242416	AA	19970731	CA 1997-2242416	19970122
AU 9713195	A1	19970820	AU 1997-13195	19970122
AU 715764	B2	20000210		
CN 1214041	A	19990414	CN 1997-193226	19970122
BR 9707010	A	19990720	BR 1997-7010	19970122
EP 950656	A1	19991020	EP 1997-900747	19970122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001316254	A2	20011113	JP 2001-69135	19970122
NO 9803376	A	19980914	NO 1998-3376	19980722
US 6150394	A	20001121	US 1998-120378	19980722
US 6207698	B1	20010327	US 1998-120197	19980722
US 6235768	B1	20010522	US 1999-307818	19990510
AU 738793	B2	20010927	AU 2000-30232	20000501
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PRIORITY APPLN. INFO.:
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OTHER SOURCE(S): MARPAT 127:162119
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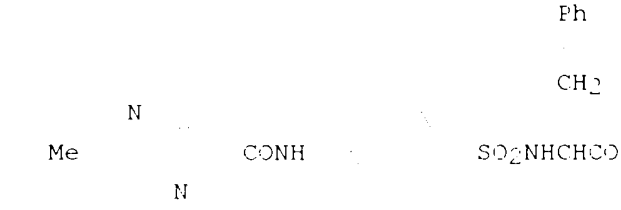

AB The title compds. R5R4R3SO2NR2CHR1COY [R1 = (un)substituted alkyl, aryl, aralkyl, heteroaryl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 =

single bond, (un)substituted arylene, etc.; R4 = single bond, CH:CH, C.tplbond.C, CO, CONH, N:N, NHCONH, NHCO, O, S, SO2NH, etc.; R5 = (un)substituted alkyl, cycloalkyl, etc.; Y = NHOH, OH; a proviso is given] are prepd. The title compd. (R)-I in vitro showed IC50 of 3.95 .mu.M against MMP-9 (gelatinase B).

IC IOM C07C311-00
IOS C07D209-42; C07D213-55; C07D235-24; C07D257-04; C07D277-56; C07D277-82; C07D263-56; C07D307-91; C07D333-34; C07D333-62; A61K031-40; A61K031-535; A61K031-42; A61K031-425; A61K031-415; A61K031-44; A61K031-34; A61K031-38; A61K031-41

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 27, 28

IT

56176-31-9P	130633-87-3P	177583-41-4P	188006-04-4P	188006-06-6P
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193810-13-8P 193810-14-9P 193810-15-0P 193810-16-1P 193810-17-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonylamino acid derivs. as metalloproteinase inhibitors)

L10 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:374831 HCAPLUS
DOCUMENT NUMBER: 126:343874
TITLE: Preparation of N-sulfonyl- and N-sulfinylamino acid amides as microbiocides
INVENTOR(S): Zeller, Martin
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Zeller, Martin
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714677	A1	19970424	WO 1996-EP4349	19961007
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KP, KR, MX, NO, NZ, PL, RO, RU, SK, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9672868	A1	19970507	AU 1996-72868	19961007
AU 705463	B2	19990520		
EP 858448	A1	19980819	EP 1996-934563	19961007
EP 858448	B1	20000531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1200112	A	19981125	CN 1996-197681	19961007
BR 9611111	A	19990713	BR 1996-11111	19961007
AT 193524	E	20000615	AT 1996-934563	19961007
ES 2147935	T3	20001001	ES 1996-934563	19961007
JP 2000513323	T2	20001010	JP 1997-515475	19961007
RU 2171801	C2	20010810	RU 1998-109454	19961007
ZA 9608750	A	19970424	ZA 1996-8750	19961017
US 6194611	B1	20010227	US 1998-51688	19980416

PRIORITY APPLN. INFO.: CH 1995-2957 A 19951018
CH 1996-1716 A 19960709
WO 1996-EP4349 W 19961007

OTHER SOURCE(S): MARPAT 126:343874
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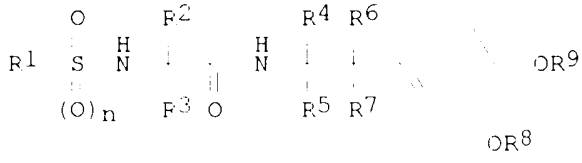

I

AB Title compds. I [n = 0, 1; R1 = C1-12 alkyl (un)substituted by C1-4 alkoxy, C1-4 alkylthio, C1-4 alkylsulfonyl, C3-8 cycloalkyl, CN, C1-6 alkoxycarbonyl, C3-6 alkenyloxycarbonyl, C3-6 alkynyloxycarbonyl; C3-8

cycloalkyl, C2-12 alkenyl, C2-12 alkynyl; C1-12 haloalkyl, NR11R12; R11, R12 = independently H, C1-6 alkyl; R11R12 = (CH2)4, (CH2)5; R2, R3 = independently H, C1-8 alkyl (un)substituted by OH, C1-4 alkoxy, SH, C1-4 alkylthio, C3-8 alkenyl, C3-8 alkynyl, C3-8 cycloalkyl-C1-4 alkyl; CR2R3 = C3-8 ring; R4-R7 = independently H, C1-4 alkyl; R8 = C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl; R9 = C3-8 cycloalkyl; C1-6 alkyl, C3C6 alkenyl, or C3-6 alkynyl substituted by .gtoreq.1 halo atoms; (CR13R14)p(CR15R16)q-X; p = 0, 1; q = 0, 1; R13-R16 = independently H, C1-4 alkyl; X = H (wherein p = q = 0); Ph (un)substituted by halo, NO2, CN, CO2H, C2-6 alkenyl, C2-6 alkynyl, C1-6 haloalkyl, C3-6 alkenyloxy, C3-6 alkynyloxy, C3-7 cycloalkyl, C1-6 haloalkoxy, C1-6 alkylthio, C1-6 alkoxycarbonyl, C3-6 alkenyloxycarbonyl, C3-6 alkynyloxycarbonyl, C1-6 alkyl, C1-6 alkoxy; CN; CO2R17; COR18; CR19:CR20CO2R21; R17 = R21 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, and R18 = H; C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl or Ph (un)substituted by halo, NO2, CN, C1-4 alkyl] are valuable microbiocides. They can be used in plant protection in the form of suitable compns., for example in the control of fungal diseases. Thus, treatment of EtSO2-L-Val-OH (prepn. given) with iso-Bu chloroformate and N-methylmorpholine in THF at -20.degree. to -10.degree. for 1 h, followed by addn. of H2NCH2CH2C6H3(OMe)OCH2Ph-3,4 and warming to room temp. over 4 h gave ethylsulfonylvaline amide EtSO2-L-Val-NHCH2CH2C6H3(OMe)OCH2Ph-3,4 (II). Over 130 related sulfonyl and sulfinyl amides were also prepd. II showed systemic action against Phytophthora on tomato plants by virtually completely (0-5% infestation) preventing infestation.

IC ICM C07C311-06
ICS C07C307-06; A01N041-06

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 5, 10

IT 189945-53-7P 189945-55-9P 189945-56-0P **189945-57-1P**
189945-58-2P 189945-59-3P 189945-60-6P 189945-62-8P 189945-63-9P
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RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonyl- and N-sulfinylamino acid amides as microbiocides)

L10 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:171788 HCAPLUS
DOCUMENT NUMBER: 124:233137
TITLE: Preparation of N-sulfonyl and N-sulfinyl .alpha.-amino acid amides as agrochemical microbiocides
INVENTOR(S): Zeller, Martin
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530651	A1	19951116	WO 1995-EP1530	19950422
W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NZ, PL, RO, RU, SK, UA				
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CA 2186510	AA	19951116	CA 1995-2186510	19950422
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AU 683382	B2	19971106		
EP 758317	A1	19970219	EP 1995-917357	19950422
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CN 1147247	A	19970409	CN 1995-192920	19950422
CN 1064957	B	20010425		
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JP 10504522	T2	19980506	JP 1995-528630	19950422
AT 178593	E	19990415	AT 1995-917357	19950422
ES 2132662	T3	19990816	ES 1995-917357	19950422
RU 2140411	C1	19991027	RU 1996-123116	19950422
SK 280607	B6	20000516	SK 1996-1419	19950422
PL 178747	B1	20000630	PL 1995-316660	19950422
CZ 289778	B6	20020417	CZ 1996-3198	19950422
US 5585519	A	19961217	US 1995-431230	19950428
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PRIORITY APPLN. INFO.:
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US 1995-431230 A3 19950428

OTHER SOURCE(S): MARPAT 124:233137
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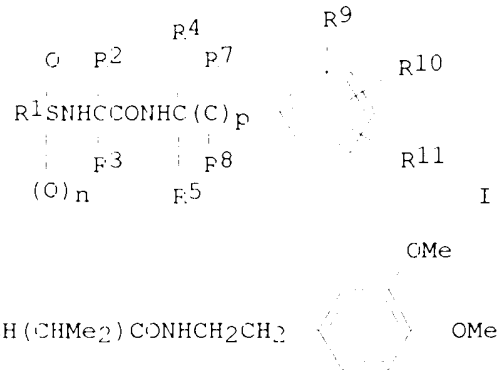

I

II

AB The title compds.[I; n = 0, 1; R1 = (un)substituted alkyl, halogenoalkyl, (un)substituted NH2, etc.; R2, R3 = H, (un)substituted alkyl; R4 = H, alkyl; R5 = H, alkyl, (un)substituted Ph; R6, R7 = H, alkyl; R9-R11 = H, alkyl, NO2, alkenyl, halogen, etc.; p = 0, 1], useful as agrochem. fungicides and microbiocides, are prepd. and I-contg. formulations presented. Thus, (S)-2-amino-3-methylbutyric acid N-[2-(3,4-dimethoxyphenyl)ethyl]amide was condensed with N,N-dimethylsulfamoyl chloride, producing microbiocidal amide II, m.p. 97-99.degree..

IC ICM C07C311-06
ICS C07C307-06; C07C313-20; C07C311-14; C07C311-07; C07C323-60; C07C311-11; C07C317-04; C07D295-22; A01N041-06

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 5, 25

IT 86311-76-4P 97482-31-0P 174601-18-4P 174601-20-8P 174601-21-9P
174601-22-0P 174601-23-1P 174601-24-2P 174601-25-3P 174601-26-4P
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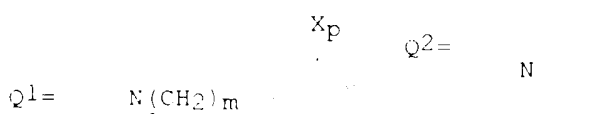
174691-53-3P 174691-54-4P 174691-55-5P 174691-56-6P 174691-57-7P
174691-58-8P 174691-59-9P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl and N-sulfinyl .alpha.-amino acid amides as agrochem. microbiocides)

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:408477 HCAPLUS
DOCUMENT NUMBER: 117:8477
TITLE: Preparation of derivatives of aspartic acid and glutamic acid having anti-cholecystokinin activity
INVENTOR(S): Broughton, Howard Barff; Kalindjian, Sarkis Barret; Low, Caroline Minli Rachel; McDonald, Iain Mair; Hull, Robert Anthony David; Shankley, Nigel Paul
PATENT ASSIGNEE(S): Black, James, Foundation Ltd., UK
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9200958	A1	19920123	WO 1991-GB1111	19910708
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2087193	AA	19920113	CA 1991-2087193	19910708
AU 9182060	A1	19920204	AU 1991-82060	19910708
EP 552158	A1	19930728	EP 1991-912752	19910708
EP 552158	B1	19941012		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05508646	T2	19931202	JP 1991-512030	19910708
ZA 9105367	A	19930331	ZA 1991-5367	19910710
NO 9300046	A	19930107	NO 1993-46	19930107
US 5399748	A	19950321	US 1993-961722	19930112

PRIORITY APPLN. INFO.: GB 1990-15360 19900712
GB 1990-27283 19901217
WO 1991-GB1111 19910708

OTHER SOURCE(S): MARPAT 117:8477
GI



Page 91

AB ArSO2(R1)NC(R2)[(CH2)nT]COQ [I; Ar = (substituted) 2-naphthyl, 2-naphthylmethyl, 1,2,3,4-tetrahydro-2-naphthyl, PhCH2CH2, cinnamyl, 1- or 2-indanyl, 3,4-dichlorophenyl; R1 = H, (cyclo)alkyl, heterocyclylalkyl, (substituted) arylalkyl; R2 = H, Me, Et; T = CO2H, carbamoyl, tetrazolyl; n = 0-3; Q = Q1, Q2; X = alkyl, (thio)alkoxy, CO2H, carboalkoxy, NO2, trihalomethyl, OH, amino, arylalkyl, alkylaryl, halo; m = 1-3; p = 0-3; R3 = H, alkyl, (CH2)rR4; r = 0-4; R4 = (substituted)aryl], were prepd. Thus, PhCH2CH2NH2 was condensed with BOC-Asp(Bz)-OH using DCC in CH2Cl2 at -10.degree. to room temp to give 91% amide. The product was deprotected with CF3CO2H (93%) followed by acylation with 2-naphthalenesulfonyl chloride (80%) and hydrogenolysis (92%) to give 2-naphthalenesulfonylaspartic acid 2-phenylethylamide. I antagonized CCK-8 in guinea pig gall bladder strips with pKB = 5.40-6.98. I were inactive in gastrin assays.

IC ICM C07C311-19
ICS A61K031-195; C07C311-13; C07C311-37; C07D217-06; C07D307-66; A61K031-47

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

IT 141577-40-4P 141577-41-5P 141577-42-6P 141577-43-7P 141577-44-8P
141577-45-9P 141577-46-0P 141577-47-1P 141577-48-2P 141577-49-3P
141577-50-6P 141577-51-7P 141577-52-8P 141577-53-9P
141577-54-0P 141577-55-1P 141577-56-2P 141577-57-3P 141577-58-4P
141596-45-4P 141596-46-5P 141596-47-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokinin antagonist)

L10 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:214912 HCAPLUS
DOCUMENT NUMBER: 116:214912
TITLE: Preparation of (peptidyl)alkanediamines as inhibitors of retroviral proteases
INVENTOR(S): Budt, Karl Heinz; Stowasser, Bernd; Knolle, Jochen; Ruppert, Dieter; Meichsner, Christoph; Paessens, Arnold; Hansen, Jutta
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 69 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4030350	A1	19910411	DE 1990-4030350	19900926
EP 428849	A2	19910529	EP 1990-118377	19900925
EP 428849	A3	19910731		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DD 298109	A5	19920206	DD 1990-344231	19900926
RU 2047621	C1	19951110	RU 1990-4831099	19900926
CA 2026382	AA	19910329	CA 1990-2026382	19900927
NO 9004208	A	19910402	NO 1990-4208	19900927
CN 1050545	A	19910410	CN 1990-108045	19900927
CN 1052240	B	20000510		
AU 9063221	A1	19910411	AU 1990-63221	19900927
AU 627937	B2	19920903		
JP 03120245	A2	19910522	JP 1990-254445	19900927

JP 2521841	B2	19960807			
ZA 9007727	A	19910626	ZA 1990-7727		19900927
HU 55797	A2	19910628	HU 1990-6244		19900927
BR 9004852	A	19910910	BR 1990-4852		19900927
RU 2028155	C1	19950209	RU 1991-4894683		19910811
AU 9344468	A1	19931021	AU 1993-44468		19930805
AU 663207	B2	19950928			
US 5712417	A	19980127	US 1994-272760		19940711
CN 1253019	A	20000517	CN 1999-118641		19990910

PRIORITY APPLN. INFO.:

DE 1989-3932390	A1	19890928
DE 1990-4013149	A1	19900425
US 1990-588206	B1	19900926
US 1992-845823	B1	19920306
US 1992-984252	B1	19921201

OTHER SOURCE(S): MARPAT 116:214912

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R2, R7 = H, CO2H, alkyl, alkoxy, HS, etc.; R3, R8 = H, C1-3-alkyl; R4, R9 = C1-8-alkyl; R5, R10 = H, OH, NH2, CO2H; R6, R11 = H, C1-6-alkyl; A, B = acyl, acylpeptidyl, acylaminoacyl; Y = O, S, (substituted) methylene, (substituted) imino; l, m = 0, 1], useful for treatment of AIDS, were prepd. N,N'-bis(1-valyl)-2S,5S-diamino-1,6-diphenylhexane-3R,4R-diol-2HCl (prepn. given) was reacted with BOC-Phe-OH in DMF contg. N-ethylmorpholine, HOBt, and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide at 0.degree. for 1 h to give, after extn. with EtOAc and treatment with aq. NaHCO3 and aq. KHSO4, N,N'-bis(tert-butoxycarbonyl-L-phenylalanyl-L-valyl)-2S,5S-diamino-1,6-diphenyl-hexane-3R,4R-diol. This had an IC50 of 10 nM against HIV protease.

IC ICM C07K005-06
ICS C07K005-08; A61K037-64; C12N009-64; C07C271-16; C07C271-20; C07C317-28; C07C317-10; C07F007-18; C07C237-10

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 17, 23

IT 98642-15-0P 129491-63-0P 129491-64-1P 129491-65-2P 129758-92-5P
134805-73-5P 134805-81-5P 134807-56-0P 137755-20-5P 137755-21-6P
137755-22-7P 137755-23-8P 137755-24-9P 137755-25-0P 137755-26-1P
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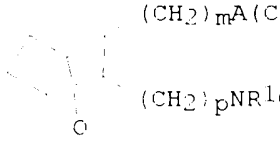
137893-69-7P 137893-70-0P 137893-71-1P 137893-72-2P 137893-73-3P
137894-59-8P 137894-60-1P 138007-86-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as retroviral protease inhibitor)

L10 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1987:156145 HCAPLUS
DOCUMENT NUMBER: 106:156145
TITLE: 7-oxabicycloheptane substituted amide-sulfonamide prostaglandin analogs useful in the treatment of thrombotic disease
INVENTOR(S): Nakane, Masami
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc., USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4632931	A	19861230	US 1985-780127	19850925

PRIORITY APPLN. INFO.: US 1985-780127 19850925
OTHER SOURCE(S): CASREACT 106:156145
GI



I

AB Title compds. I (m = 0-4; A = CH:CH, CH2CH2; n = 1-5; Q = CH:CH, CH2, CH(OH), mono- or dihalomethylene, bond; R = CO2H, CH2OH, tetrazolyl, etc.; p = 1-4; R1, R2 = H, alkyl; q = 1-12; R3 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl) cardiovascular agents, useful for treatment of thrombotic disease, were prepd. I are useful as platelet aggregation inhibitors, brochoconstriction inhibition, and for treatment of circulating disorder (no data) in a pharmaceutical form (no data). Thus, Me [1S-[1.beta.,2.alpha.(5Z),3.alpha.,4.beta.]]-7-[3-(hydroxymethyl)-7-oxabicyclo[2.2.1]hept-2-yl]-5-heptenoate was tosylated, the tosylate converted to the phthalimide deriv. which was hydrolyzed to give the heptenoate Me ester, which was coupled with N-(pentylsulfonyl)glycine in presence of carbonyl diimidazole to give [1S-[1.beta.,2.alpha.(5Z),3.alpha.,4.beta.]]-I (m = p = 1, A = CH:CH, n = 2, Q = CH2, R = CO2Me, q = 1, R1

= R2 = H, R3 = pentyl).
IC ICM A61K031-39
ICS C07D307-00
NCL 514382000
CC 26-3 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1
IT 107490-98-2P 107490-99-3P 107491-01-0P 107491-02-1P 107491-04-3P
107491-05-4P 107491-06-5P 107491-07-6P 107491-10-1P 107491-11-2P
107491-12-3P 107491-13-4P 107491-15-6P 107491-16-7P 107491-18-9P
107491-19-0P **107491-20-3P 107491-21-4P** 107491-23-6P
107491-24-7P 107491-25-8P 107491-26-9P 107504-46-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of thrombotic diseases)

=> fil hcaold
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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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FILE 'HCAPLUS' ENTERED AT 14:11:51 ON 24 FEB 2003

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L11 1 S L2

FILE 'HCAOLD' ENTERED AT 14:13:27 ON 24 FEB 2003

=> d all

L11 ANSWER 1 OF 1 HCAOLD COPYRIGHT 2003 ACS
AN CA55:189d CAOLD
TI color couplers
AU Greenhalgh, Colin W.
TI color couplers (photographic)
PA Imperial Chemical Industries Ltd.
DT Patent

	PATENT NO.	KIND	DATE
PI	GB 830797		
	DE 1115129		
	US 3133815		1964

IT 7336-96-1 17852-80-1 21478-11-5 96771-93-6 97829-21-5 101281-37-2
102077-08-7 102241-93-0 102376-43-2 102808-79-7 102891-36-1 103099-39-4
103100-10-3 103267-97-6 103326-88-1 103327-79-3 103390-51-8 103390-87-0
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107280-71-7 108479-01-2 108517-59-5 108720-60-1 108759-41-7 108977-20-4
109399-39-5 109399-40-8 109939-44-8 111032-93-0 112090-23-0 113569-61-2
114161-86-3 115208-98-5 115535-33-6 115606-36-5 115760-14-0 115918-20-2
118113-14-7 118927-48-3 119248-78-1 119370-08-0 120086-66-0 120086-98-8
120364-03-6 121075-29-4 121623-57-2 **121812-67-7**
122242-19-7 122242-21-1 122242-23-3 122272-82-6 122389-39-3 122701-26-2
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123904-70-1 124100-34-1 124100-35-2 124100-36-3 124100-37-4 124100-55-6
124104-86-5 124142-94-5 124142-95-6